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     (FILE 'HOME' ENTERED AT 17:21:02 ON 10 MAY 2007)

     FILE 'REGISTRY' ENTERED AT 17:21:13 ON 10 MAY 2007
L1             1 S CITALOPRAM OXALATE/CN

     FILE 'REGISTRY' ENTERED AT 17:21:41 ON 10 MAY 2007

     FILE 'ZCAPLUS' ENTERED AT 17:22:38 ON 10 MAY 2007
L2            17 S L1
L3             0 S L2 AND CRYSTAL?
L4             0 S L2 AND POLYMOR?

     FILE 'REGISTRY' ENTERED AT 17:24:39 ON 10 MAY 2007
L5             1 S ESCITALOPRAM/CN
L6             1 S ESCITALOPRAM OXALATE/CN

     FILE 'REGISTRY' ENTERED AT 17:26:48 ON 10 MAY 2007

     FILE 'STNGUIDE' ENTERED AT 17:27:28 ON 10 MAY 2007

     FILE 'ZCAPLUS' ENTERED AT 17:29:52 ON 10 MAY 2007
L7            72 S L6
L8             2 S L7 AND (CRYSTL? OR POLYMORPH? OR (X (W)RAY))

=> s l7 not l8
L9            70 L7 NOT L8

=> s l9 and wo2003011278/pn
              1 WO2003011278/PN
                (WO2003011278/PN)
L10           1 L9 AND WO2003011278/PN
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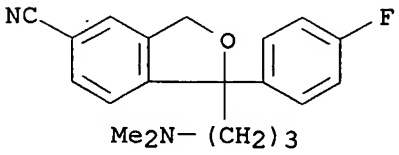
=> s citalopram oxalate/cn
L1 1 CITALOPRAM OXALATE/CN

=> d

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN
RN 207559-01-1 REGISTRY
ED Entered STN: 24 Jun 1998
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)
OTHER NAMES:
CN (±)-Citalopram oxalate
CN Citalopram oxalate
MF C20 H21 F N2 O . C2 H2 O4
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPAT2, USPATFULL

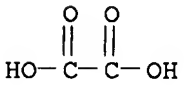
CM 1

CRN 59729-33-8
CMF C20 H21 F N2 O



CM 2

CRN 144-62-7
CMF C2 H2 O4



17 REFERENCES IN FILE CA (1907 TO DATE)
17 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> FILE REG

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	7.35	7.56

FILE 'REGISTRY' ENTERED AT 17:21:41 ON 10 MAY 2007

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 MAY 2007 HIGHEST RN 934521-72-9

DICTIONARY FILE UPDATES: 9 MAY 2007 HIGHEST RN 934521-72-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> STR 207559-01-1

207559-01-1 MAY NOT BE USED AS A MODEL
COMPONENTS
144-62-7 C2 H2 O4
59729-33-8 C20 H21 F N2 O

ENTER NAME OF STRUCTURE TO BE RECALLED (NONE):END

=>
THIS FEATURE IS NOT AVAILABLE FOR THE SELECTED CAS RN

=> fil zcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.90	8.46

FILE 'ZCAPLUS' ENTERED AT 17:22:38 ON 10 MAY 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 10 May 2007 VOL 146 ISS 20
FILE LAST UPDATED: 9 May 2007 (20070509/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l1
L2 17 L1

=> d bib abs 1-17

L2 ANSWER 1 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:194068 ZCAPLUS
DN 144:274127
TI Process for preparation of citalopram and its enantiomers via acid or base cyclization of the diol
IN Periyandi, Nagarajan; Kilaru, Srinivasu; Thennati, Rajamannar
PA Sun Pharmaceutical Industries Limited, India
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006021971	A2	20060302	WO 2005-IN276	20050812
	WO 2006021971	A3	20060713		
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	IN 2004MU00912	A	20070420	IN 2004-MU912	20040823
PRAI	IN 2004-MU912	A	20040823		

OS MARPAT 144:274127
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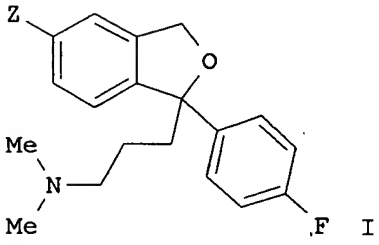

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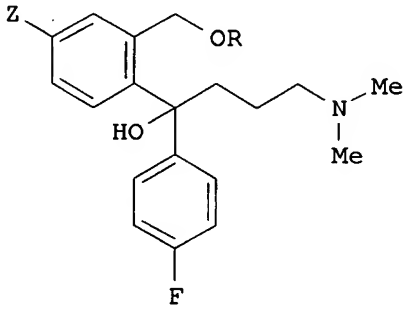

II

AB The invention provides a process for preparation of 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile I (Z = CN; citalopram) and its enantiomers. The process for preparation of compound I comprising reacting a compound of formula II (R = H), in the presence of a base, with a compound of formula RX, wherein R is (un)substituted alkyl, (un)substituted alkenyl, and (un)substituted (hetero)aryl; X is from F, Cl, Br, I, CN, OTf and OR1; R1 is (un)substituted alkyl; Z is CN or a group that may be converted to a cyano group; so that an intermediate ether derivative, where R is as defined above, is formed from said reaction, which ether cyclizes to give a compound of formula I, where Z is not a cyano group, and conversion of the group Z in the compound of formula I to a cyano

group to form racemic I (Z = CN), is claimed in this invention. The invention also provides ether compds., compds. of formula II and a process for preparation thereof. (S)-(+)-Citropram, i.e., (S)-(+)-I (Z = CN) was prepared by nucleophilic aromatic substitution of 2,5-dichloronitrobenzene with (S)-(-)-II (Z = CN; R = H) to give the corresponding benzylic Ph ether, that was converted to its HCl salt, and cyclized in the presence of potassium carbonate to give (S)-(+)-I.

L2 ANSWER 2 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1045059 ZCAPLUS
DN 143:346931
TI Process for the preparation of citalopram and its intermediates
IN Ikemoto, Tetsuya; Watanabe, Yosuke
PA Sumitomo Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 57 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2005263741	A	20050929	JP 2004-81592	20040319
WO 2005090290	A1	20050929	WO 2005-JP5466	20050317

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2004-81592 A 20040319
OS MARPAT 143:346931
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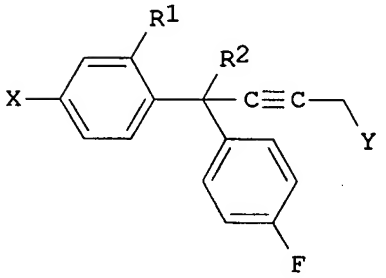

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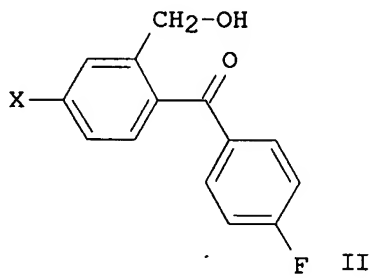
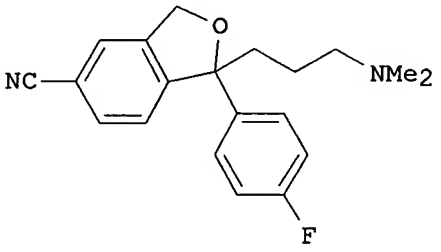


II



III

AB A process for the preparation of title compds. of formula I [R1 = CH2OH; R2 = OH; or R1R2 = -CH2O-; X = CN, CHO, halo, etc.; Y = dialkylamino, NO2, halo, etc.] comprising reacting a compound of formula II (X is defined as above) with a compound of formula M-C.tplbond.CCH2Y1 (M = Li, Na, MgCl, etc.; Y1 = dialkylamino, nitro or OR; R = (un)substituted heterocyclyl, alkyl, aralkyl or silyl) is disclosed. For example, reaction of II (X = CN) with LiC.tplbond.CCH2OTHP (73%), followed by intramol. cyclization, mesylation, substitution with dimethylamine, provided I [R1R2 = -CH2O-, X = CN, Y = NMe2], which was introduced to citalopram base III after redn (54%, 4 steps). This invention offered a production method for the preparation of citalopram, which is an important antidepressant.

L2 ANSWER 3 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:31412 ZCAPLUS
DN 142:141428
TI Quantitative analysis of S-citalopram oxalate by chiral liquid chromatography
AU Yang, Xue-mei; Liu, Xu; Yan, Yi-chen; Xu, Jiang-ping
CS Department of Chemistry, First Military Medical University, Guangzhou, 510515, Peop. Rep. China
SO Zhongguo Xinyao Zazhi (2004), 13(11), 1020-1021
CODEN: ZXZHA6; ISSN: 1003-3734
PB Zhongguo Xinyao Zazhishe
DT Journal
LA Chinese
AB An HPLC method for the separation of enantiomers of citalopram oxalate and determination of S-citalopram was presented. The chromatog. conditions were as follows: a Chirobiotic V column (250mm d 4.6mm, 5am), methanol-acetic acid-triethylamine (100:0.1:0.1) as a mobile phase, detection wavelength at 240 nm, the column temperature at 20oC and flow rate at 1.0 mL;mine . The enantiomers of citalopram oxalate was successfully separated The linearity of S-citalopram was 10-150 gumLes with a regression coefficient at 0.999 1 (n=5). Conclusion: This quant. anal. of S-citalopram oxalate is attained.

L2 ANSWER 4 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:1079731 ZCAPLUS
DN 142:56160
TI process for purification of citalopram by hydrogenolysis halogenated isobenzofuran impurities
IN Borase, Ashok Punju; Patel, Nileshkumar Sureshbai; Kilaru, Srinivasu; Thennati, Rajamannar
PA Sun Pharmaceuticals Industries Ltd., India
SO Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1486492	A2	20041215	EP 2004-291424	20040608
	EP 1486492	A3	20050223		
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	IN 2003MU00602	A	20050211	IN 2003-MU602	20030610
	US 2005004380	A1	20050106	US 2004-865139	20040608
	US 7019153	B2	20060328		
PRAI	IN 2003-MU602	A	20030610		

OS MARPAT 142:56160
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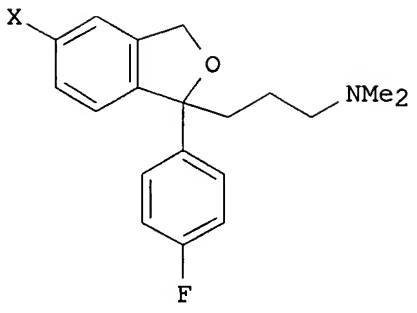

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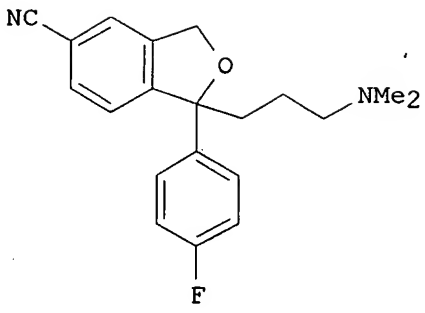


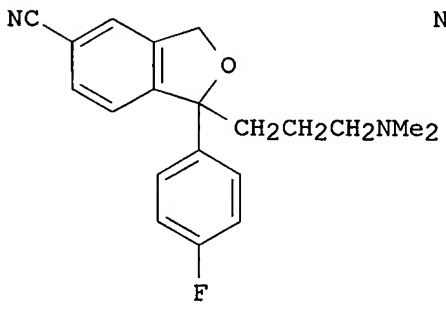
II

AB The present invention provides a process for decreasing the content of halogenated isobenzofuran impurities I (X = halo) in citalopram (II) by hydrogenolysis to I (X = H). Thus, 5 g crude citalopram base containing 4.84% of bromo impurity I (X = Br) is dissolved in 50 mL EtOAc, 0.1 g Pd/C and 0.1 g sodium hypophosphite added and the mixture refluxed for 2 h. Anal. showed that the bromo impurity I (X = Br) is absent.

L2 ANSWER 5 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:691476 ZCAPLUS
DN 141:207048
TI Preparation of pure citalopram
IN Kaushik, Vipin Kumar; Rao, Divvela Venkata Naga Srinivasa; Handa, Vijay Kumar; Sivakumaran, Meenakshisunderam
PA Aurobindo Pharma Ltd., India
SO U.S., 3 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6781003	B1	20040824	US 2003-456135	20030609
PRAI US 2003-456135		20030609		

OS CASREACT 141:207048
GI



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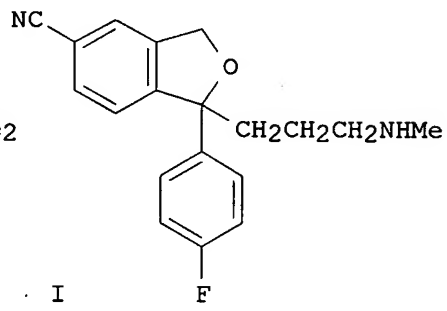

II

AB The present invention relates to an industrially advantageous method for the purification of citalopram (I) wherein desmethyl citalopram (II), present in crude citalopram as an impurity, is methylated to produce pure citalopram I. The resulting citalopram product I is isolated as the base

or a pharmaceutically acceptable salt thereof. Thus, to crude citalopram (90 g, 0.28 mol) containing desmethyl citalopram (7 %, HPLC), formic acid (98%, 2.7 g) was added followed by aqueous formaldehyde(35%, 2.37 g). The reaction mass was heated at 85-95° for 30 min, cooled to 30°, and diluted with ethanol (900 mL), treated with oxalic acid dihydrate (41.94 g, 0.33 mol), and heated to reflux. The obtained solution was cooled to 20-25° and stirring was continued for 2 h at 20-25°, followed by collecting the product by filtration and recrystn. from ethanol to give highly pure 92 g crystalline citalopram oxalate having HPLC purity 99.7% wherein desmethyl citalopram (impurity) was not detected.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 6 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:331827 ZCAPLUS
DN 140:357194
TI Process for the manufacture of citalopram hydrobromide from 5-bromophthalide
IN Chodankar, Nandkumar; Bhobe, Ajit; Oak, G. M.; Eappan, Philip
PA Sekhsaria Chemicals Limited, India
SO U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004077870	A1	20040422	US 2002-277451	20021022
	US 6812355	B2	20041102		
PRAI	US 2002-277451		20021022		

OS CASREACT 140:357194; MARPAT 140:357194
AB Disclosed is a process for the preparation of 1-(4-fluorophenyl)-1-(3-dimethylamino-propyl)-5-phthalanecarbonitrile (citalopram) (known antidepressant) or a pharmaceutically acceptable salt thereof, comprising performing two successive Grignard reactions on 5-bromophthalide using p-fluorobromobenzene and then N,N-dimethylaminopropylmagnesium chloride, wherein the 5-bromophthalide is reacted with the first Grignard reagent in the presence of a Lewis acid, so reducing byproduct formation and improving yields.
RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 7 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:172971 ZCAPLUS
DN 138:221462
TI Improved process for the manufacture of citalopram hydrobromide from 5-bromophthalide
PA Sekhsaria Chemicals Ltd., India
SO Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1288211	A1	20030305	EP 2002-255750	20020819
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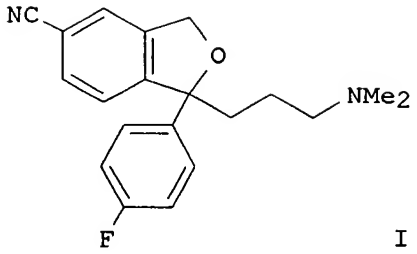
PRAI	US 2001-315391P	P	20010828		

OS CASREACT 138:221462; MARPAT 138:221462
GI



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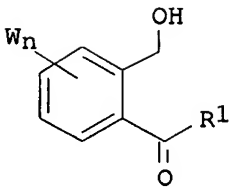

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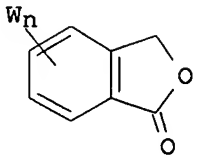

III

AB A process for the preparation of 1-(4'-fluorophenyl)-1-(3-dimethylamino-propyl)-5-phthalanecarbonitrile (I), or a pharmaceutically acceptable salt thereof, comprising performing two successive Grignard reactions on 5-bromophthalide, wherein the 5-bromophthalide is reacted with the first Grignard reagent in the presence of a Lewis acid, so reducing byproduct formation and improving yields. Also claimed is a process for the preparation of aryl ketone II [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, aralkyl, optionally containing one heteroatom; W = haloge, CN, OH, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, aralkyl; n = 0 - 4] which comprises the step of reacting a phthalide III with a Grignard reagent, R1MgY (Y = halogen) and is characetrized in that the phthalide is reacted with a Lewis acid to form an adduct prior to reaction with the Grignard reagent. Thus,.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 8 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:32670 ZCAPLUS
DN 138:55856
TI Process for the preparation of highly pure salts of citalopram
IN Satyanarayana, Chava; Venkata, Ramana Rao Chunchu; Jyothi, Basu Abbineni; Hari, Babu Bobepudi
PA Matrix Laboratories Limited, India
SO Brit. UK Pat. Appl., 18 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2375763	A	20021127	GB 2002-10225	20020503
	GB 2375763	B	20030924		

	CA 2444940	A1	20030904	CA 2002-2444940	20020418
	WO 2003072565	A1	20030904	WO 2002-IB3832	20020418
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	AU 2002367728	A1	20030909	AU 2002-367728	20020418
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	CN 1509279	A	20040630	CN 2002-809801	20020418
	EP 1478635	A1	20041124	EP 2002-806883	20020418
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	GB 2387596	A	20031022	GB 2003-15853	20020503
	GB 2387596	B	20040211		
	GB 2387844	A	20031029	GB 2003-15852	20020503
	GB 2387844	B	20050511		
	ZA 2003008115	A	20040705	ZA 2003-8115	20031017
PRAI	GB 2002-4607	A	20020227		
	WO 2002-IB3832	W	20020418		
	GB 2002-10225	A	20020503		

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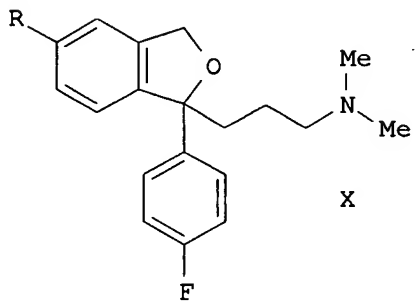


I

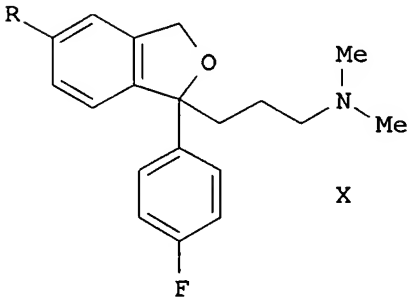
AB A process for preparing highly pure salts of citalopram, such as I (R = CN; X = oxalate, hydrobromide, hydrochloride), for pharmaceutical compns. was described. Thus, citalopram contaminated with up to 5.0% of desmethyl citalopram was added to acetone and stirred for 15 min at 40° followed by addn of oxalic acid to form citalopram oxalate in 85% yield with desmethyl citalopram content <0.1%.

L2 ANSWER 9 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:8116 ZCAPLUS
DN 138:55857
TI Process for the preparation of citalopram
IN Hamied, Yusuf Khwaja; Kankan, Rajendra Narayanrao; Rao, Dharmaraj Ramachandra
PA Cipla Limited, India
SO Brit. UK Pat. Appl., 11 pp.
CODEN: BAXXDU
DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2376945	A	20021231	GB 2001-15708	20010627
PRAI	GB 2001-15708		20010627		

OS CASREACT 138:55857; MARPAT 138:55857
GI



I

AB An improved process for the preparation of citalopram via substitution of the halogen of halophthalane salts I (R = halogen; X = oxalate, fumarate, maleate, citrate, acetate, formate, hydrochloride, hydrobromide, sulfate) using cuprous cyanide in an organic solvent. Thus, bromophthalane oxalate I (R = Br, X = oxalate) was reacted CuCN in diglyme under a nitrogen atmospheric at 150-155° for 3 h to form citalopram which was converted to its HBr salt I (R = CN, X = HBr).

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 10 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:550141 ZCAPLUS
DN 137:78852
TI Preparation of citalopram from 5-carboxyphthalide and Grignard derivatives of 4-halofluorobenzenes and 3-dimethylaminopropyl halides.
IN Dancer, Robert; Petersen, Hans; Ahmadian, Haleh
PA H. Lundbeck A/S, Den.
SO Patentschrift (Switz.), 11 pp.
CODEN: SWXXAS
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 691968	A5	20011215	CH 2001-1521	20010816
	CA 2354880	A1	20020122	CA 2001-2354880	20010809
	CA 2354880	C	20030603		
	CA 2354877	A1	20020218	CA 2001-2354877	20010809
	CA 2354877	C	20060502		
	FI 2001001621	A	20020219	FI 2001-1621	20010809
	FI 2001001622	A	20020219	FI 2001-1622	20010809
	IL 144816	A	20050925	IL 2001-144816	20010809
	HU 200103291	A2	20020529	HU 2001-3291	20010810
	IT 2001MI1785	A1	20020218	IT 2001-MI1785	20010813
	IT 2001MI1786	A1	20020218	IT 2001-MI1786	20010813

HU	200103295	A2	20020529	HU 2001-3295	20010813
IN	194521	A1	20041113	IN 2001-MA665	20010813
GB	2362647	A	20011128	GB 2001-19733	20010814
GB	2362647	B	20020918		
ZA	2001006687	A	20020214	ZA 2001-6687	20010814
DK	2001001216	A	20020219	DK 2001-1216	20010814
DK	2001001219	A	20020219	DK 2001-1219	20010814
NO	2001003942	A	20020219	NO 2001-3942	20010814
NO	2001003943	A	20020219	NO 2001-3943	20010814
GB	2365865	A	20020227	GB 2001-19734	20010814
GB	2365865	B	20020717		
US	2002025982	A1	20020228	US 2001-930107	20010814
US	6426422	B2	20020730		
US	2002026062	A1	20020228	US 2001-930110	20010814
US	6509483	B2	20030121		
WO	2002016341	A1	20020228	WO 2001-DK541	20010814
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO	2002016342	A1	20020228	WO 2001-DK542	20010814
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AU	200179608	A	20020304	AU 2001-79608	20010814
AU	200179609	A	20020304	AU 2001-79609	20010814
GR	2001100397	A	20020524	GR 2001-100397	20010814
GR	1004635	B2	20040714		
GR	2001100398	A	20020524	GR 2001-100398	20010814
GR	1004074	B2	20021126		
ZA	2001006683	A	20020805	ZA 2001-6683	20010814
EP	1309581	A1	20030514	EP 2001-957785	20010814
EP	1309581	B1	20041103		
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EP	1309582	A1	20030514	EP 2001-957786	20010814
EP	1309582	B1	20041103		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP	2004506729	T	20040304	JP 2002-521442	20010814
JP	2004506730	T	20040304	JP 2002-521443	20010814
NZ	523853	A	20040730	NZ 2001-523853	20010814
NZ	523877	A	20040827	NZ 2001-523877	20010814
AT	281447	T	20041115	AT 2001-957785	20010814
AT	281448	T	20041115	AT 2001-957786	20010814
PT	1309581	T	20050331	PT 2001-957785	20010814
PT	1309582	T	20050331	PT 2001-957786	20010814
ES	2228920	T3	20050416	ES 2001-1957786	20010814
ES	2230347	T3	20050501	ES 2001-1957785	20010814
AU	2001100271	A4	20010913	AU 2001-100271	20010815
AU	2001100271	B4	20011129		

	CZ 294746	B6	20050316	CZ 2001-2958	20010815
	CZ 295863	B6	20051116	CZ 2001-2959	20010815
	AU 2001100278	A4	20010913	AU 2001-100278	20010816
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	NL 1018775	C1	20011024	NL 2001-1018775	20010816
	NL 1018776	C1	20011024	NL 2001-1018776	20010816
	BE 1013443	A6	20020115	BE 2001-548	20010816
	FR 2813077	A1	20020222	FR 2001-10855	20010816
	FR 2813077	B1	20040820		
	FR 2813078	A1	20020222	FR 2001-10857	20010816
	FR 2813078	B1	20040402		
	DE 10140028	A1	20020418	DE 2001-10140028	20010816
	DE 10140029	A1	20020502	DE 2001-10140029	20010816
	CN 1339435	A	20020313	CN 2001-133947	20010817
	CN 1339436	A	20020313	CN 2001-133948	20010817
	BR 2001004841	A	20020604	BR 2001-4841	20010817
	ES 2170734	A1	20020801	ES 2001-1919	20010817
	ES 2170735	A1	20020801	ES 2001-1920	20010817
	CN 1515564	A	20040728	CN 2004-10001871	20010817
	IN 194535	A1	20041113	IN 2001-MA680	20010817
	BE 1013444	A6	20020115	BE 2001-550	20010820
	BR 2001005022	A	20020604	BR 2001-5022	20010824
	HK 1044538	A1	20060707	HK 2002-106176	20020822
	HK 1047086	A1	20050422	HK 2002-106522	20020904
	HK 1068069	A1	20060922	HK 2005-100254	20020904
	BG 107583	A	20040130	BG 2003-107583	20030224
	BG 107584	A	20040130	BG 2003-107584	20030224
	IN 2003CH00968	A	20051230	IN 2003-CH968	20031125
PRAI	DK 2000-1231	A	20000818		
	WO 2001-DK541	W	20010814		
	WO 2001-DK542	W	20010814		
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OS CASREACT 137:78852

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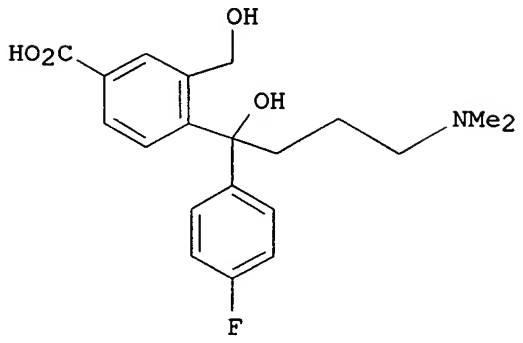

II

AB Citalopram (I) was prepared by reaction of 5-carboxyphthalide and Grignard derivs. of 4-halofluorobenzenes and 3-dimethylaminopropyl halides to give diol intermediate (II) followed by cyclization of II and conversion of the resulting carboxycitalopram to I. Thus, 5-carboxyphthalide in THF was treated sequentially with tetramethylethylenediamine, p-fluorophenylmagnesium bromide and MgBr2 in THF, and 3-dimethylaminopropylmagnesium bromide in THF/heptane to give 5-carboxycitalopram of >80% purity. The latter was heated with sulfamide and SOCl2 in sulfolane for 2 h at 130° to give citalopram of >97%

purity.

L2 ANSWER 11 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:592319 ZCAPLUS
Correction of: 2001:386023
DN 135:137393
Correction of: 134:353251
TI Method for the preparation of citalopram
IN Petersen, Hans; Rock, Michael Harold
PA H Lundbeck A/S, Den.
SO Brit. UK Pat. Appl., 15 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2354240	A	20010321	GB 2001-1508	19991119
	GB 2354240	B	20010523		
	IT 99MI1579	A1	20010115	IT 1999-MI1579	19990715
	WO 2000011926	A2	20000309	WO 1999-DK643	19991119
	WO 2000011926	A3	20000629		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1105382	A2	20010613	EP 1999-968206	19991119
	EP 1105382	B1	20020213		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	DE 19983486	T0	20011018	DE 1999-19983486	19991119
	DE 19983486	C2	20020905		
	AU 2001100433	A4	20011101	AU 2001-2001100433	19991119
	AU 2001100433	B4	20020117		
	HU 200103417	A2	20020128	HU 2001-3417	19991119
	AT 213237	T	20020215	AT 1999-968206	19991119
	BR 9917367	A	20020305	BR 1999-17367	19991119
	AT 9909040	A	20020515	AT 1999-9040	19991119
	AT 409960	B	20021227		
	TR 200103700	T2	20020521	TR 2001-200103700	19991119
	JP 2002523432	T	20020730	JP 2000-567065	19991119
	JP 3389571	B2	20030324		
	PT 1105382	T	20020731	PT 1999-968206	19991119
	ES 2172356	T3	20020916	ES 1999-968206	19991119
	CZ 292174	B6	20030813	CZ 2001-319	19991119
	CN 1129593	B	20031203	CN 1999-816768	19991119
	NZ 514982	A	20040130	NZ 1999-514982	19991119
	CA 2290125	A1	20001225	CA 1999-2290125	19991122
	CA 2290125	C	20040810		
	NO 2001000318	A	20010220	NO 2001-318	20010119
	SE 2001000194	A	20010425	SE 2001-194	20010124
	SE 516689	C2	20020212		
	FI 2001000154	A	20010209	FI 2001-154	20010125
	FI 108538	B1	20020215		
	IN 2001CN00149	A	20050304	IN 2001-CN149	20010201
	ZA 2001007956	A	20020927	ZA 2001-7956	20010927
	ZA 2001008855	A	20020611	ZA 2001-8855	20011026

	US 2002061925	A1	20020523	US 2001-12025	20011106
	US 6750358	B2	20040615		
	BG 106190	A	20020830	BG 2001-106190	20011207
	ZA 2002005023	A	20030623	ZA 2002-5023	20020621
	HK 1047745	A1	20040910	HK 2002-109330	20021224
PRAI	DK 1999-921	A	19990625		
	WO 1999-DK643	W	19991119		

OS CASREACT 135:137393; MARPAT 135:137393

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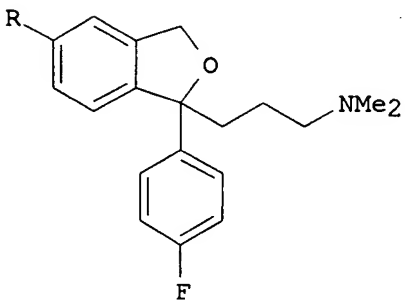

I

AB A method for preparing the antidepressant, citalopram [I; R = CN], by reacting an isobenzofuranpropanamine [I; R = Cl or Br] with a cyanide source in the presence of a nickel catalyst is presented. Citalopram is produced in high yield as a very pure product using this catalytic process. Thus, sequential addition of I (R = Cl) and NaCN to the Ni catalyst formed by reflux of NiCl2 with PPh3 in AcCN in the presence of a catalytic amount of Zn, followed by workup and treatment with oxalic acid, gave citalopram oxalate in 55% yield.

L2 ANSWER 12 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:526066 ZCAPLUS
DN 135:107242
TI Method for the preparation of citalopram
IN Rock, Michael Harold; Ahmadian, Haleh
PA H. Lundbeck A/S, Den.
SO PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001051478	A1	20010719	WO 2001-DK140	20010301
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	NL 1017417	C1	20010316	NL 2001-1017417	20010221
	CA 2401374	A1	20010719	CA 2001-2401374	20010301
	EP 1263750	A1	20021211	EP 2001-911454	20010301
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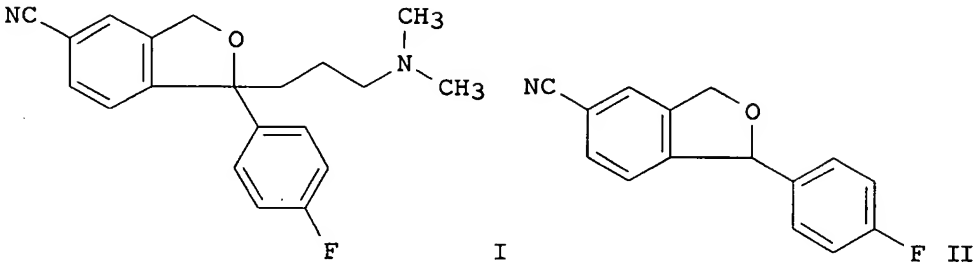
	HU 200300060	A2	20030528	HU 2003-60	20010301

	BR 2001009022	A	20030603	BR 2001-9022	20010301
	JP 2003519692	T	20030624	JP 2001-551860	20010301
	NZ 521200	A	20040326	NZ 2001-521200	20010301
	BE 1011481	A6	20010703	BE 2001-143	20010302
	FR 2805814	A1	20010907	FR 2001-2896	20010302
	GR 1003795	B1	20020208	GR 2001-100106	20010305
	ZA 2002006846	A	20030827	ZA 2002-6846	20020827
	US 2003092761	A1	20030515	US 2002-232994	20020829
	US 6768011	B2	20040727		
	NO 2002004180	A	20020902	NO 2002-4180	20020902
	BG 107050	A	20030530	BG 2002-107050	20020902
	IN 2002CN01544	A	20050128	IN 2002-CN1544	20020925
PRAI	DK 2000-353	A	20000303		
	WO 2001-DK140	W	20010301		

OS CASREACT 135:107242; MARPAT 135:107242

GI



AB The antidepressant compound citalopram (I) is prepared by the reaction of a dihydrobenzofuran (II) with R(CH2)3R1 (R = halogen, OSO2X; X = alkyl, alkenyl, aryl arylalkyl; R1 = dimethylamino, halogen OSO2X; such that R ≠ R1 = NMe2) followed by isolation of citalopram base or a pharmaceutically acceptable acid addition salt (e.g., citalopram oxalate).

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 13 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:452790 ZCAPLUS
DN 135:61223
TI Preparation of citalopram from 1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile.
IN Rock, Michael Harold; Ahmadian, Haleh
PA H. Lundbeck A/S, Den.
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001043525	A2	20010621	WO 2001-DK123	20010222
	WO 2001043525	A3	20020131		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PT	1173431	T	20030930	PT 1999-913120	19990414
ES	2195554	T3	20031201	ES 1999-913120	19990414
NL	1017414	C1	20010315	NL 2001-1017414	20010221
NL	1017415	C1	20010518	NL 2001-1017415	20010221
FR	2805812	A1	20010907	FR 2001-2339	20010221
FR	2805813	A1	20010907	FR 2001-2341	20010221
BE	1012921	A6	20010508	BE 2001-118	20010222
CA	2401236	A1	20010621	CA 2001-2401236	20010222
AU	200135358	A	20010625	AU 2001-35358	20010222
CA	2400682	A1	20010830	CA 2001-2400682	20010222
WO	2001062754	A1	20010830	WO 2001-DK122	20010222

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GR	2001100097	A	20011031	GR 2001-100097	20010222
GR	2001100098	A	20011031	GR 2001-100098	20010222
GR	1004073	B2	20021126		
EP	1259500	A1	20021127	EP 2001-907388	20010222

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EP	1259501	A2	20021127	EP 2001-907389	20010222

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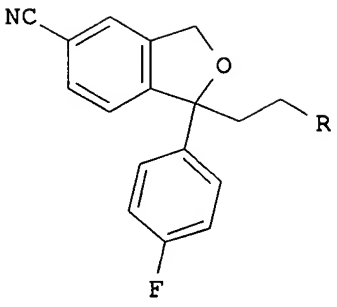
BR	2001008947	A	20030603	BR 2001-8947	20010222
BR	2001008937	A	20030617	BR 2001-8937	20010222
HU	200300212	A2	20030628	HU 2003-212	20010222
JP	2003523955	T	20030812	JP 2001-544478	20010222
JP	2003524009	T	20030812	JP 2001-562536	20010222
CN	1608057	A	20050420	CN 2001-805556	20010222
BE	1011177	A6	20010703	BE 2001-126	20010223
US	2001027256	A1	20011004	US 2001-794762	20010226
US	6420574	B2	20020716		
US	2002004604	A1	20020110	US 2001-794755	20010226
GR	2001100123	A	20021122	GR 2001-100123	20010313
GR	1004072	B2	20021202		
ZA	2002006255	A	20031020	ZA 2002-6255	20020806
NO	2002003928	A	20020819	NO 2002-3928	20020819
BG	107015	A	20030530	BG 2002-107015	20020820
ZA	2002006699	A	20031121	ZA 2002-6699	20020821
NO	2002004007	A	20021007	NO 2002-4007	20020822
US	2003083508	A1	20030501	US 2002-228388	20020823
ZA	2002006899	A	20030828	ZA 2002-6899	20020828
BG	107061	A	20030530	BG 2002-107061	20020904
IN	2002CN01483	A	20050128	IN 2002-CN1483	20020918
IN	2002CN01512	A	20050128	IN 2002-CN1512	20020923
US	2003114692	A1	20030619	US 2002-286407	20021101
HK	1054378	A1	20050429	HK 2003-106541	20030911

PRAI	DK 2000-296	A	20000224
	DK 2000-401	A	20000313
	EP 1999-913120	A	19990414
	WO 2001-DK122	W	20010222
	WO 2001-DK123	W	20010222
	US 2001-794755	A1	20010226

OS CASREACT 135:61223; MARPAT 135:61223

GI



II

AB Citalopram was prepared by reaction of 1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile (I) with XCH2CH2R (X = leaving group; R = CH2OPg, CH2NPg1Pg2, CONMe2, etc.; Pg, Pg1, Pg2 = protecting group) to give intermediate (II) followed by conversion of the R group to form a dimethylaminomethyl group and isolation. Thus, I in THF was added to LDA in THF at -78° followed by stirring for 30 min; PhCH2O(CH2)3Br in THF was added followed by warming to room temperature and stirring for 2 h to give 60% 1-[(3-benzyloxy)propyl]-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile. The latter was refluxed 2 days with 1,4-cyclohexadiene and Pd/C in EtOH to give 80% 1-(4-fluorophenyl)-1-(3-hydroxypropyl)-1,3-dihydroisobenzofuran-5-carbonitrile. This was converted to the tosylate (42%) which was heated with Et3N and Me2NH.HCl in DMF at 70° overnight to give 70% citalopram as the oxalate.

L2 ANSWER 14 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:175646 ZCAPLUS
DN 132:194283
TI Method for the preparation of citalopram
IN Petersen, Hans; Rock, Michael Harold; Svane, Henrik
PA H. Lundbeck A/S, Den.
SO PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000013648	A2	20000316	WO 1999-DK640	19991122
	WO 2000013648	A3	20000713		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	IT 99MI1581	A1	20010115	IT 1999-MI1581	19990715
	ES 2169709	A1	20020701	ES 2001-50056	19991025
	JP 2003012663	A	20030115	JP 2002-106016	19991025
	CN 1550497	A	20041201	CN 2003-2003165033	19991025
	AU 200013745	A	20000327	AU 2000-13745	19991122
	CA 2290127	A1	20001225	CA 1999-2290127	19991122
	CA 2290127	C	20050125		

	CA 2475401	A1	20001225	CA 1999-2475401	19991122
	GB 2354239	A	20010321	GB 2001-1504	19991122
	GB 2354239	B	20010606		
	GB 2357761	A	20010704	GB 2001-5182	19991122
	GB 2357761	B	20010905		
	AU 2001100440	A4	20011101	AU 2001-2001100440	19991122
	AU 2001100440	B4	20020124		
	EP 1159274	A2	20011205	EP 1999-968622	19991122
	EP 1159274	B1	20030326		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	HU 200103235	A2	20020128	HU 2001-3235	19991122
	BR 9917368	A	20020305	BR 1999-17368	19991122
	AT 9909041	A	20020515	AT 1999-9041	19991122
	AT 409961	B	20021227		
	TR 200103702	T2	20020621	TR 2001-200103702	19991122
	DE 19983487	C1	20020725	DE 1999-19983487	19991122
	JP 2002526386	T	20020820	JP 2000-568457	19991122
	JP 3447267	B2	20030916		
	AT 235478	T	20030415	AT 1999-968622	19991122
	ES 2189699	A1	20030701	ES 2001-50011	19991122
	CZ 292198	B6	20030813	CZ 2001-320	19991122
	PT 1159274	T	20030829	PT 1999-968622	19991122
	ES 2194545	T3	20031116	ES 1999-968622	19991122
	NZ 514979	A	20040130	NZ 1999-514979	19991122
	CN 1502616	A	20040609	CN 2003-10118780	19991122
	SE 2001000193	A	20010425	SE 2001-193	20010124
	SE 516690	C2	20020212		
	FI 2001000155	A	20010209	FI 2001-155	20010125
	FI 108641	B1	20020228		
	IN 2001CN00148	A	20050304	IN 2001-CN148	20010201
	ZA 2001008854	A	20020611	ZA 2001-8854	20011026
	US 2002077353	A1	20020620	US 2001-12054	20011106
	BG 106191	A	20020830	BG 2001-106191	20011207
	HK 1049002	A1	20041231	HK 2003-101234	20030218
PRAI	DK 1999-920	A	19990625		
	JP 2000-571018	A3	19991025		
	CA 1999-2290127	A3	19991122		
	CN 1999-816751	A	19991122		
	GB 2001-1504	A3	19991122		
	WO 1999-DK640	W	19991122		

OS CASREACT 132:194283; MARPAT 132:194283

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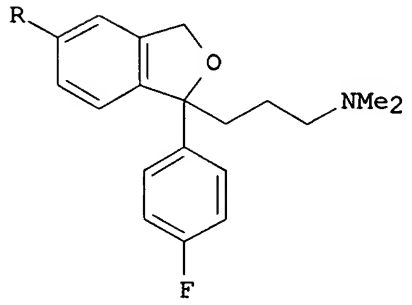

I

AB The title compound [I; R = CN], the well known antidepressant (no data), was prepared by reacting a compound I [wherein R = halo, CF3(CF2)nSO2; n = 0-8] with a cyanide source in the presence of a palladium catalyst and a

catalytic amount of Cu+ or Zn2+, or with Zn(CN)2 in the presence of a palladium catalyst.

L2 ANSWER 15 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:161091 ZCAPLUS
DN 132:207755
TI Method for the preparation of citalopram
IN Rock, Michael Harold; Petersen, Hans; Ellegaard, Peter
PA H. Lundbeck A/s, Den.
SO PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000012044	A2	20000309	WO 1999-DK581	19991025
	WO 2000012044	A3	20000803		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9963265	A	20000321	AU 1999-63265	19991025
	CA 2291133	A1	20010425	CA 1999-2291133	19991025
	CA 2291133	C	20030617		
	GB 2360281	A	20010919	GB 2001-15030	19991025
	GB 2360281	B	20020116		
	BR 9917108	A	20011016	BR 1999-17108	19991025
	TR 200101874	T1	20020221	TR 2001-200101874	19991025
	CH 692298	A5	20020430	CH 2001-2004	19991025
	CH 692421	A5	20020614	CH 2001-1179	19991025
	HU 200200169	A2	20020629	HU 2002-169	19991025
	HU 200200169	A3	20021128		
	ES 2169709	A1	20020701	ES 2001-50056	19991025
	EP 1228056	A2	20020807	EP 1999-950511	19991025
	EP 1228056	B1	20040922		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2002525273	T	20020813	JP 2000-571018	19991025
	JP 3365764	B2	20030114		
	JP 2003012663	A	20030115	JP 2002-106016	19991025
	EP 1298124	A1	20030402	EP 2002-28326	19991025
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	DE 19983836	C1	20031023	DE 1999-19983836	19991025
	CZ 292992	B6	20040114	CZ 2001-2246	19991025
	NZ 512406	A	20040130	NZ 1999-512406	19991025
	AT 277032	T	20041015	AT 1999-950511	19991025
	PT 1228056	T	20050228	PT 1999-950511	19991025
	ES 2229774	T3	20050416	ES 1999-950511	19991025
	TR 200401456	T1	20050421	TR 2004-200401456	19991025
	AT 355268	T	20060315	AT 2002-28326	19991025
	IT 99MI2505	A1	20010601	IT 1999-MI2505	19991201
	IT 1314243	B1	20021206		
	BG 105617	A	20020131	BG 2001-105617	20010618
	ZA 2001004971	A	20020304	ZA 2001-4971	20010618
	FI 2001001316	A	20010620	FI 2001-1316	20010620

	NO 2001003185	A	20010824	NO 2001-3185	20010625
	US 2002035277	A1	20020321	US 2001-891874	20010625
	US 6407267	B2	20020618		
	US 2002177722	A1	20021128	US 2002-138811	20020503
	US 6566540	B2	20030520		
	HK 1043129	A1	20041021	HK 2002-105036	20020705
	CZ 292894	B6	20031217	CZ 2002-2925	20020829
PRAI	CH 2001-1179	A	19991025		
	CH 2001-2004	A	19991025		
	DK 1999-920	A	19990625		
	EP 1999-950511	A3	19991025		
	ES 2001-50056	A	19991025		
	JP 2000-571018	A3	19991025		
	WO 1999-DK581	W	19991025		
	US 2001-891874	A3	20010625		

OS CASREACT 132:207755; MARPAT 132:207755

AB The title process comprises condensation-cyclization of 4-FC6H4COZCH2OR (R = alkyl, acyl, alkyl- or arylsulfonyl; Z = 4-cyano-1,2-phenylene) with Me2N(CH2)3MgCl.

L2 ANSWER 16 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 1999:404803 ZCAPLUS

DN 131:58745

TI Method for the preparation of citalopram

IN Petersen, Hans

PA H. Lundbeck A/S, Den.

SO PCT Int. Appl., 18 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9930548	A2	19990624	WO 1999-DK210	19990414
	WO 9930548	A3	20000210		
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2291129	A1	19990624	CA 1999-2291129	19990414
	CA 2291129	C	20021022		
	AU 9931378	A	19990705	AU 1999-31378	19990414
	AU 759716	B2	20030417		
	EP 1173431	A2	20020123	EP 1999-913120	19990414
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	HU 200200678	A3	20020930		
	AT 237604	T	20030515	AT 1999-913120	19990414
	PT 1173431	T	20030930	PT 1999-913120	19990414
	NZ 514671	A	20031031	NZ 1999-514671	19990414
	ES 2195554	T3	20031201	ES 1999-913120	19990414
	TR 200102957	T2	20041221	TR 2001-200102957	19990414
	CZ 296537	B6	20060412	CZ 2001-3693	19990414

	SK 285604	B6	20070405	SK 2001-1440	19990414
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	NO 2001005017	A	20011015	NO 2001-5017	20011015
	US 2002040153	A1	20020404	US 2001-977920	20011015
	US 6849749	B2	20050201		
	HK 1048122	A1	20050114	HK 2003-100330	20030114
	US 2005124817	A1	20050609	US 2004-958067	20041004
	US 7030252	B2	20060418		
PRAI	EP 1999-913120	A	19990414		
	WO 1999-DK210	A	19990414		
	DK 2000-401	A	20000313		
	US 2001-977920	A1	20011015		

OS MARPAT 131:58745

AB A method for the preparation of citalopram comprising reductive hydrolysis of 5-morpholinocarbonyl-1-(3-dimethylaminopropyl)-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran and conversion of the resulting 5-formyl compound to citalopram.

L2 ANSWER 17 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 1998:338080 ZCAPLUS

DN 129:4572

TI Method for the preparation of citalopram

IN Petersen, Hans; Bregnedal, Peter; Bogeso, Klaus Peter

PA H. Lundbeck A/S, Den.

SO PCT Int. Appl., 17 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9819513	A2	19980514	WO 1998-DK81	19980303
	WO 9819513	A3	20000504		
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2291067	A1	19980514	CA 1998-2291067	19980303
	CA 2291067	C	20020730		
	AU 9866098	A	19980529	AU 1998-66098	19980303
	AU 737610	B2	20010823		
	EP 1015416	A2	20000705	EP 1998-905125	19980303
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	BR 9810499	A	20010313	BR 1998-10499	19980303
	HU 200003177	A2	20010428	HU 2000-3177	19980303
	AT 205824	T	20011015	AT 1998-905125	19980303
	NZ 501737	A	20011026	NZ 1998-501737	19980303
	ES 2148120	T3	20020116	ES 1998-905125	19980303
	JP 2002509526	T	20020326	JP 1998-520971	19980303
	JP 3526581	B2	20040517		
	PT 1015416	T	20020328	PT 1998-905125	19980303
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	SK 283309	B6	20030502	SK 2000-3	19980303
	CN 1495157	A	20040512	CN 2003-10116407	19980303
	IL 133511	A	20040512	IL 1998-133511	19980303.

	IN 1998MA01514	A	20050304	IN 1998-MA1514	19980706
	ZA 9805981	A	19990128	ZA 1998-5981	19980707
	MX 9911854	A	20000531	MX 1999-11854	19991216
	NO 2000000008	A	20000103	NO 2000-8	20000103
	NO 322146	B1	20060821		
	US 6229026	B1	20010508	US 2000-479832	20000107
	BG 104116	A	20001229	BG 2000-104116	20000131
	BG 64823	B1	20060531		
	HK 1030940	A1	20041217	HK 2001-101807	20010313
	HK 1065997	A1	20051202	HK 2004-108969	20010313
PRAI	DK 1997-826	A	19970708		
	US 1997-52788P	P	19970708		
	CN 1998-806945	A	19980303		
	WO 1998-DK81	W	19980303		
	HK 2001-101807	A	20010313		

OS CASREACT 129:4572; MARPAT 129:4572
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compound I.oxalate, the known antidepressant agent (no data), was prepared by reacting a compound II [R1 = C1-6 alkyl; X = O, NH] with 4-fluorophenylmagnesium bromide and 3-dimethylaminopropylmagnesium chloride, resp., followed by ring closure of the resulting compound III and converting the resulting 1,3-dihydroisobenzofuran IV into citalopram I.

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L3           0 L2 AND CRYSTAL?

=> s l2 and polymor?
        207164 POLYMOR?
L4           0 L2 AND POLYMOR?
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=> s l7 and (crystl? or polymorph? or (x (w)ray))
          109 CRYSTL?
       207127 POLYMORPH?
      1594448 X
      1076436 RAY
       833500 X (W)RAY
L8          2 L7 AND (CRYSTL? OR POLYMORPH? OR (X (W)RAY))

=> d bib hit 1-2

L8   ANSWER 1 OF 2  ZCAPLUS  COPYRIGHT 2007 ACS on STN
AN   2005:395500  ZCAPLUS
DN   142:442858
TI   Inversion on chromosome 8p23 is a risk factor for anxiety disorders,
     depression and bipolar
IN   Bjornsdottir, Soley; Kong, Augustine; Thorgeirsson, Thorgeir E.
PA   Decode Genetics Ehf., Iceland
SO   PCT Int. Appl., 200 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.          DATE
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PI   WO 2005040427        A2    20050506    WO 2004-US30699          20040917
     WO 2005040427        A3    20051229
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     CA 2538664           A1    20050506    CA 2004-2538664          20040917
     EP 1680518           A2    20060719    EP 2004-809772           20040917
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
PRAI US 2003-504307P      P     20030919
     WO 2004-US30699      W     20040917
IT   Genetic polymorphism
       (indel; inversion on chromosome 8p23 is a risk factor for anxiety
       disorders, depression and bipolar)
IT   Genetic polymorphism
       (single nucleotide; inversion on chromosome 8p23 is a risk factor for
       anxiety disorders, depression and bipolar)
IT   54910-89-3, Fluoxetine   59729-32-7, Cipramil   59729-33-8, Citalopram
     79617-96-2, Sertraline   93413-69-5, Venlafaxine   128196-01-0,
     Escitalopram 219861-08-2, Cipralex
     RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
       (inversion on chromosome 8p23 is a risk factor for anxiety disorders,
       depression and bipolar)

L8   ANSWER 2 OF 2  ZCAPLUS  COPYRIGHT 2007 ACS on STN
AN   2004:817875  ZCAPLUS
DN   141:320074
TI   Novel crystalline forms of (S)-citalopram oxalate
```

IN Parthasaradhi, Reddy Bandi; Rathnakar, Reddy Kura; Raji, Reddy Rapolu; Muralidhara, Reddy Dasari; Subash, Chander Reddy Kesireddy

PA Hetero Drugs Limited, India

SO PCT Int. Appl., 12 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004085416	A1	20041007	WO 2003-IN66	20030324
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003223105	A1	20041018	AU 2003-223105	20030324
	US 2005154052	A1	20050714	US 2003-509139	20030324
	TR 200504022	T1	20060821	TR 2005-4022	20030324
	IN 2003CN00744	A	20050415	IN 2003-CN744	20030519
PRAI	WO 2003-IN66	A	20030324		

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

ST citalopram oxalate crystal form prepn delivery system; polymorphism citalopram oxalate

IT Crystallization
Drug delivery systems
Polymorphism (crystal)
(preparation of crystalline forms of citalopram oxalate for delivery systems)

IT 219861-08-2P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of crystalline forms of citalopram oxalate for delivery systems)

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=> s l6
L7          72 L6

=> s l7 and (crystl? or polymorph? or (x (w)ray))
           109 CRYSTL?
        207127 POLYMORPH?
       1594448 X
       1076436 RAY
        833500 X (W)RAY
L8            2 L7 AND (CRYSTL? OR POLYMORPH? OR (X (W)RAY))

=> d bib hit 1-2

L8   ANSWER 1 OF 2  ZCAPLUS  COPYRIGHT 2007 ACS on STN
AN   2005:395500  ZCAPLUS
DN   142:442858
TI   Inversion on chromosome 8p23 is a risk factor for anxiety disorders,
     depression and bipolar
IN   Bjornsdottir, Soley; Kong, Augustine; Thorgeirsson, Thorgeir E.
PA   Decode Genetics Ehf., Iceland
SO   PCT Int. Appl., 200 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
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PI   WO 2005040427         A2    20050506    WO 2004-US30699          20040917
     WO 2005040427         A3    20051229
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
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             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     CA 2538664            A1    20050506    CA 2004-2538664          20040917
     EP 1680518            A2    20060719    EP 2004-809772           20040917
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
PRAI US 2003-504307P       P     20030919
     WO 2004-US30699       W     20040917
IT   Genetic polymorphism
       (indel; inversion on chromosome 8p23 is a risk factor for anxiety
       disorders, depression and bipolar)
IT   Genetic polymorphism
       (single nucleotide; inversion on chromosome 8p23 is a risk factor for
       anxiety disorders, depression and bipolar)
IT   54910-89-3, Fluoxetine   59729-32-7, Cipramil   59729-33-8, Citalopram
     79617-96-2, Sertraline   93413-69-5, Venlafaxine   128196-01-0,
     Escitalopram 219861-08-2, Cipralex
     RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
       (inversion on chromosome 8p23 is a risk factor for anxiety disorders,
       depression and bipolar)

L8   ANSWER 2 OF 2  ZCAPLUS  COPYRIGHT 2007 ACS on STN
```

AN 2004:817875 ZCAPLUS
DN 141:320074
TI Novel crystalline forms of (S)-citalopram oxalate
IN Parthasaradhi, Reddy Bandi; Rathnakar, Reddy Kura; Raji, Reddy Rapolu; Muralidhara, Reddy Dasari; Subash, Chander Reddy Kesireddy
PA Hetero Drugs Limited, India
SO PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004085416	A1	20041007	WO 2003-IN66	20030324
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003223105	A1	20041018	AU 2003-223105	20030324
	US 2005154052	A1	20050714	US 2003-509139	20030324
	TR 200504022	T1	20060821	TR 2005-4022	20030324
	IN 2003CN00744	A	20050415	IN 2003-CN744	20030519
PRAI	WO 2003-IN66	A	20030324		

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
ST citalopram oxalate crystal form prepn delivery system; polymorphism citalopram oxalate
IT Crystallization
Drug delivery systems
Polymorphism (crystal)
(preparation of crystalline forms of citalopram oxalate for delivery systems)
IT 219861-08-2P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of crystalline forms of citalopram oxalate for delivery systems)

```
=> s citalopram(w)oxalate
          2634 CITALOPRAM
         54997 OXALATE
L1           15 CITALOPRAM(W)OXALATE

=> d bib abs 1-15

L1   ANSWER 1 OF 15  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2006:194068  CAPLUS
DN   144:274127
TI   Process for preparation of citalopram and its enantiomers via acid or base
     cyclization of the diol
IN   Periyandi, Nagarajan; Kilaru, Srinivasu; Thennati, Rajamannar
PA   Sun Pharmaceutical Industries Limited, India
SO   PCT Int. Appl., 31 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
PI   WO 2006021971        A2    20060302    WO 2005-IN276           20050812
     WO 2006021971        A3    20060713
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
             NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
             SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
             ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
     IN 2004MU00912       A     20070420    IN 2004-MU912           20040823
PRAI IN 2004-MU912        A     20040823
OS   CASREACT 144:274127; MARPAT 144:274127
GI
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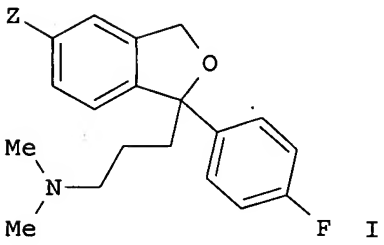

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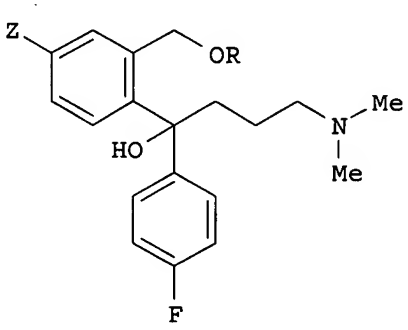


II

AB The invention provides a process for preparation of 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile I (Z = CN; citalopram) and its enantiomers. The process for preparation of compound I comprising reacting a compound of formula II (R = H), in the presence of a base, with a compound of formula RX, wherein R is (un)substituted alkyl, (un)substituted alkenyl, and (un)substituted (hetero)aryl; X is from F, Cl, Br, I, CN, OTf and OR1; R1 is (un)substituted alkyl; Z is CN or a

group that may be converted to a cyano group; so that an intermediate ether derivative, where R is as defined above, is formed from said reaction, which ether cyclizes to give a compound of formula I, where Z is not a cyano group, and conversion of the group Z in the compound of formula I to a cyano group to form racemic I (Z = CN), is claimed in this invention. The invention also provides ether compds., compds. of formula II and a process for preparation thereof. (S)-(+)-Citropram, i.e., (S)-(+)-I (Z = CN) was prepared by nucleophilic aromatic substitution of 2,5-dichloronitrobenzene with (S)-(-)-II (Z = CN; R = H) to give the corresponding benzylic Ph ether, that was converted to its HCl salt, and cyclized in the presence of potassium carbonate to give (S)-(+)-I.

L1 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:160320 CAPLUS
DN 144:304442
TI Rapid and sensitive liquid chromatography-mass spectrometry method for determination of ropinirole in human plasma
AU Bhatt, Jignesh; Jangid, Arvind; Shetty, Raghavendra; Shah, Bhavin; Kambli, Sandeep; Subbaiah, Gunta; Singh, Sadhana
CS Torrent Research Centre, Gandhinagar, Gujarat, 380009, India
SO Journal of Pharmaceutical and Biomedical Analysis (2006), 40(5), 1202-1208
CODEN: JPBADA; ISSN: 0731-7085
PB Elsevier B.V.
DT Journal
LA English
AB A rapid and robust liquid chromatog.-mass spectrometry (LC-MS/MS) method was developed for non-ergoline dopamine D2-receptor agonist, ropinirole in human plasma using Es-citalopram oxalate as an internal standard The method involves solid phase extraction from plasma, reversed-phase simple isocratic chromatog. conditions and mass spectrometric detection that enables a detection limit at picogram levels. The proposed method was validated with linear range of 20-1200 pg/mL. The extraction recoveries for ropinirole and internal standard were 90.45 and 65.42%, resp. The R.S.D.% of intra-day and inter-day assay was lower than 15%. For its sensitivity and reliability, the proposed method is particularly suitable for pharmacokinetic studies.
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

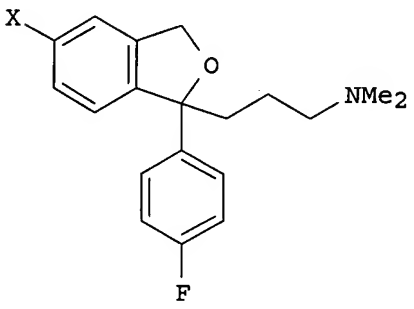
L1 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:31412 CAPLUS
DN 142:141428
TI Quantitative analysis of S-citalopram oxalate by chiral liquid chromatography
AU Yang, Xue-mei; Liu, Xu; Yan, Yi-chen; Xu, Jiang-ping
CS Department of Chemistry, First Military Medical University, Guangzhou, 510515, Peop. Rep. China
SO Zhongguo Xinyao Zazhi (2004), 13(11), 1020-1021
CODEN: ZXZHA6; ISSN: 1003-3734
PB Zhongguo Xinyao Zazhishe
DT Journal
LA Chinese
AB An HPLC method for the separation of enantiomers of citalopram oxalate and determination of S-citalopram was presented. The chromatog. conditions were as follows: a Chirobiotic V column (250mm d 4.6mm, 5am), methanol-acetic acid-triethylamine (100:0.1:0.1) as a mobile phase, detection wavelength at 240 nm, the column temperature at 20oC and flow rate at 1.0 mL;mine . The enantiomers of citalopram oxalate was successfully separated The linearity of S-citalopram was 10-150 gumLes with a regression coefficient at 0.999 1 (n=5). Conclusion: This quant. anal. of S-citalopram oxalate is attained.

L1 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:1079731 CAPLUS
DN 142:56160
TI process for purification of citalopram by hydrogenolysis halogenated isobenzofuran impurities
IN Borase, Ashok Punju; Patel, Nileshkumar Sureshbai; Kilaru, Srinivasu; Thennati, Rajamannar
PA Sun Pharmaceuticals Industries Ltd., India
SO Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1486492	A2	20041215	EP 2004-291424	20040608
	EP 1486492	A3	20050223		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	IN 2003MU00602	A	20050211	IN 2003-MU602	20030610
	US 2005004380	A1	20050106	US 2004-865139	20040608
	US 7019153	B2	20060328		
PRAI	IN 2003-MU602	A	20030610		

OS MARPAT 142:56160
GI



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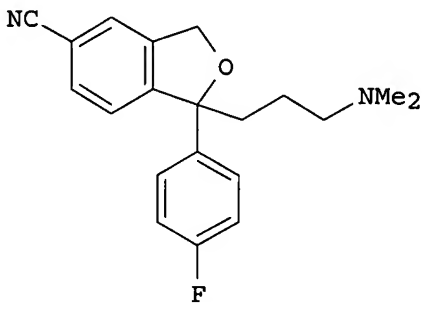


II

AB The present invention provides a process for decreasing the content of halogenated isobenzofuran impurities I (X = halo) in citalopram (II) by hydrogenolysis to I (X = H). Thus, 5 g crude citalopram base containing 4.84% of bromo impurity I (X = Br) is dissolved in 50 mL EtOAc, 0.1 g Pd/C and 0.1 g sodium hypophosphite added and the mixture refluxed for 2 h. Anal. showed that the bromo impurity I (X = Br) is absent.

L1 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:817875 CAPLUS
DN 141:320074
TI Novel crystalline forms of (S)-citalopram oxalate
IN Parthasaradhi, Reddy Bandi; Rathnakar, Reddy Kura; Raji, Reddy Rapolu; Muralidhara, Reddy Dasari; Subash, Chander Reddy Kesireddy
PA Hetero Drugs Limited, India
SO PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004085416	A1	20041007	WO 2003-IN66	20030324
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003223105	A1	20041018	AU 2003-223105	20030324
US 2005154052	A1	20050714	US 2003-509139	20030324
TR 200504022	T1	20060821	TR 2005-4022	20030324
IN 2003CN00744	A	20050415	IN 2003-CN744	20030519

PRAI WO 2003-IN66 A 20030324

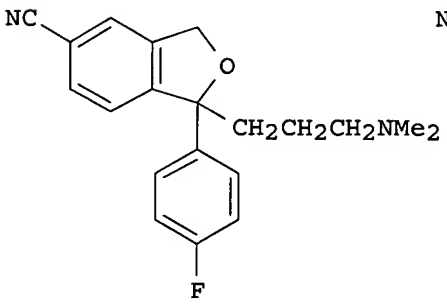
AB The present invention relates to novel crystalline forms of (S)-citalopram oxalate, to processes for their preparation and to pharmaceutical compns. containing them. The process comprises (i) mixing (S)-citalopram oxalate and a suitable solvent, and (ii) isolating a crystalline form of (S)-citalopram oxalate, or (a) adding oxalic acid to a solution of (S)-citalopram in a suitable solvent, and (b) isolating a crystalline form of (S)-citalopram oxalate. For example, 5 g of (S)-citalopram oxalate was mixed with 30 mL acetone, heated to reflux and cooled to 20°. The separated crystals were filtered and dried to give Form I of (S)-citalopram oxalate (4.5 g).

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:691476 CAPLUS
DN 141:207048
TI Preparation of pure citalopram
IN Kaushik, Vipin Kumar; Rao, Divvela Venkata Naga Srinivasa; Handa, Vijay Kumar; Sivakumaran, Meenakshisunderam
PA Aurobindo Pharma Ltd., India
SO U.S., 3 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6781003	B1	20040824	US 2003-456135	20030609

PRAI US 2003-456135 20030609
OS CASREACT 141:207048
GI



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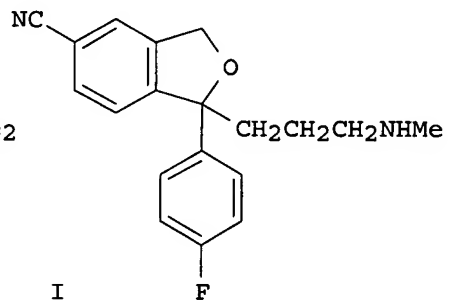


II

AB The present invention relates to an industrially advantageous method for

the purification of citalopram (I) wherein desmethyl citalopram (II), present in crude citalopram as an impurity, is methylated to produce pure citalopram I. The resulting citalopram product I is isolated as the base or a pharmaceutically acceptable salt thereof. Thus, to crude citalopram (90 g, 0.28 mol) containing desmethyl citalopram (7 %, HPLC), formic acid (98%, 2.7 g) was added followed by aqueous formaldehyde(35%, 2.37 g). The reaction mass was heated at 85-95° for 30 min, cooled to 30°, and diluted with ethanol (900 mL), treated with oxalic acid dihydrate (41.94 g, 0.33 mol), and heated to reflux. The obtained solution was cooled to 20-25° and stirring was continued for 2 h at 20-25°, followed by collecting the product by filtration and recrystn. from ethanol to give highly pure 92 g crystalline citalopram oxalate having HPLC purity 99.7% wherein desmethyl citalopram (impurity) was not detected.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:331827 CAPLUS
DN 140:357194
TI Process for the manufacture of citalopram hydrobromide from 5-bromophthalide
IN Chodankar, Nandkumar; Bhobe, Ajit; Oak, G. M.; Eappan, Philip
PA Sekhsaria Chemicals Limited, India
SO U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004077870	A1	20040422	US 2002-277451	20021022
US 6812355	B2	20041102		
PRAI US 2002-277451		20021022		

OS CASREACT 140:357194; MARPAT 140:357194
AB Disclosed is a process for the preparation of 1-(4-fluorophenyl)-1-(3-dimethylamino-propyl)-5-phthalanecarbonitrile (citalopram) (known antidepressant) or a pharmaceutically acceptable salt thereof, comprising performing two successive Grignard reactions on 5-bromophthalide using p-fluorobromobenzene and then N,N-dimethylaminopropylmagnesium chloride, wherein the 5-bromophthalide is reacted with the first Grignard reagent in the presence of a Lewis acid, so reducing byproduct formation and improving yields.

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:130967 CAPLUS
DN 141:1025
TI Anxiolytic-like effects of escitalopram, citalopram, and R-citalopram in maternally separated mouse Pups
AU Fish, Eric W.; Faccidomo, Sara; Gupta, Sandeep; Miczek, Klaus A.
CS Department of Psychology, Tufts University, Medford and Boston, MA, USA
SO Journal of Pharmacology and Experimental Therapeutics (2004), 308(2), 474-480
CODEN: JPETAB; ISSN: 0022-3565
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB The S-enantiomer of citalopram, escitalopram, is a selective serotonin reuptake inhibitor (SSRI) that appears to be responsible for citalopram's antidepressant and anxiolytic effects. Clin., escitalopram is reported to have fewer adverse side effects than do other SSRIs. This study compared escitalopram to other antidepressants in a preclin. procedure predicting

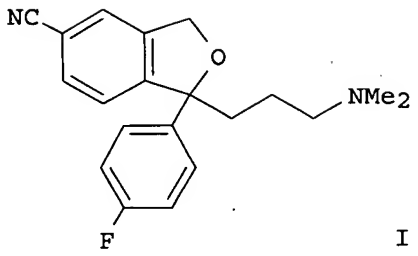
anxiolytic-like effects of drugs. Carworth Farms Webster (CFW) mouse pups (7 days old) were separated from the dam and maintained at a temperature of 34°. Forty-five minutes after administering citalopram (0.56-10 mg/kg), escitalopram (0.0056-3 mg/kg), R-citalopram (1-10 mg/kg), paroxetine (0.3-3 mg/kg), fluoxetine (1-30 mg/kg), or venlafaxine (3-56 mg/kg) s.c., the pups were placed individually on a 19.5° surface for 4 min. Ultrasonic vocalizations (USVs) (30-80 kHz), grid crossing, rolling (i.e., the pup turned on one side or its back), and colonic temperature were recorded. All the drugs reduced USV emission; escitalopram was the most potent (ED50 0.05 mg/kg), followed by paroxetine (0.17 mg/kg), citalopram (1.2 mg/kg), fluoxetine (4.3 mg/kg), R-citalopram (6 mg/kg), and venlafaxine (7 mg/kg). The doses that decreased USVs differed from those that increased motor activity. Increased grid crossing occurred after low doses of paroxetine (0.03 or 0.1 mg/kg) and fluoxetine (1 mg/kg), but only after the highest doses of the citalopram enantiomers and venlafaxine (0.3, 10, and 56 mg/kg, resp.). Except for escitalopram and venlafaxine, high doses of the treatments increased rolling. R-Citalopram caused a 10-fold rightward shift in escitalopram's dose-effect curve, suggesting that R-citalopram inhibits escitaloprams anxiolytic-like effects. These data support clin. findings that escitalopram is a potent, well tolerated SSRI with anxiolytic-like effects.

RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:172971 CAPLUS
DN 138:221462
TI Improved process for the manufacture of citalopram hydrobromide from 5-bromophthalide
PA Sekhsaria Chemicals Ltd., India
SO Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1288211	A1	20030305	EP 2002-255750	20020819
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRAI	US 2001-315391P	P	20010828		

OS CASREACT 138:221462; MARPAT 138:221462
GI



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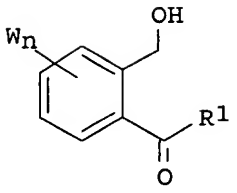
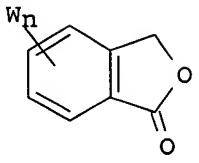


II



III

AB A process for the preparation of 1-(4'-fluorophenyl)-1-(3-dimethylamino-propyl)-5-phthalanecarbonitrile (I), or a pharmaceutically acceptable salt thereof, comprising performing two successive Grignard reactions on 5-bromophthalide, wherein the 5-bromophthalide is reacted with the first Grignard reagent in the presence of a Lewis acid, so reducing byproduct formation and improving yields. Also claimed is a process for the preparation of aryl ketone II [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, aralkyl, optionally containing one heteroatom; W = haloge, CN, OH, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, aralkyl; n = 0 - 4] which comprises the step of reacting a phthalide III with a Grignard reagent, R1MgY (Y = halogen) and is characetrized in that the phthalide is reacted with a Lewis acid to form an adduct prior to reaction with the Grignard reagent. Thus,.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:32670 CAPLUS
DN 138:55856
TI Process for the preparation of highly pure salts of citalopram
IN Satyanarayana, Chava; Venkata, Ramana Rao Chunchu; Jyothi, Basu Abbineni; Hari, Babu Bobepudi
PA Matrix Laboratories Limited, India
SO Brit. UK Pat. Appl., 18 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2375763	A	20021127	GB 2002-10225	20020503
	GB 2375763	B	20030924		
	CA 2444940	A1	20030904	CA 2002-2444940	20020418
	WO 2003072565	A1	20030904	WO 2002-IB3832	20020418

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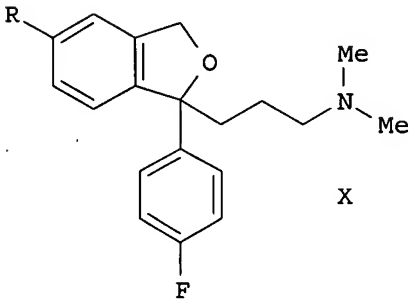
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG

	AU 2002367728	A1	20030909	AU 2002-367728	20020418
	BR 2002009194	A	20040608	BR 2002-9194	20020418
	CN 1509279	A	20040630	CN 2002-809801	20020418
	EP 1478635	A1	20041124	EP 2002-806883	20020418
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2005518445	T	20050623	JP 2003-571271	20020418
	NZ 529070	A	20060224	NZ 2002-529070	20020418
	GB 2387596	A	20031022	GB 2003-15853	20020503
	GB 2387596	B	20040211		
	GB 2387844	A	20031029	GB 2003-15852	20020503
	GB 2387844	B	20050511		
	ZA 2003008115	A	20040705	ZA 2003-8115	20031017
PRAI	GB 2002-4607	A	20020227		
	WO 2002-IB3832	W	20020418		
	GB 2002-10225	A	20020503		

GI



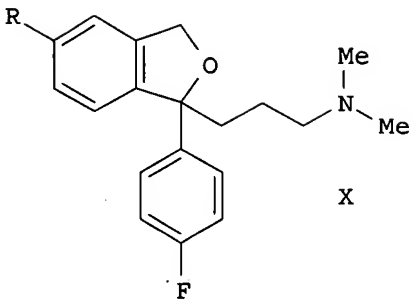
I

AB A process for preparing highly pure salts of citalopram, such as I (R = CN; X = oxalate, hydrobromide, hydrochloride), for pharmaceutical compns. was described. Thus, citalopram contaminated with up to 5.0% of desmethyl citalopram was added to acetone and stirred for 15 min at 40° followed by addn of oxalic acid to form citalopram oxalate in 85% yield with desmethyl citalopram content <0.1%.

L1 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:8116 CAPLUS
DN 138:55857
TI Process for the preparation of citalopram
IN Hamied, Yusuf Khwaja; Kankan, Rajendra Narayanrao; Rao, Dharmaraj Ramachandra
PA Cipla Limited, India
SO Brit. UK Pat. Appl., 11 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2376945	A	20021231	GB 2001-15708	20010627
PRAI	GB 2001-15708		20010627		

OS CASREACT 138:55857; MARPAT 138:55857
GI



I

AB An improved process for the preparation of citalopram via substitution of the halogen of halophthalane salts I (R = halogen; X = oxalate, fumarate, maleate, citrate, acetate, formate, hydrochloride, hydrobromide, sulfate) using cuprous cyanide in an organic solvent. Thus, bromophthalane oxalate I (R = Br, X = oxalate) was reacted CuCN in diglyme under a nitrogen atmospheric at 150-155° for 3 h to form citalopram which was converted to its HBr salt I (R = CN, X = HBr).

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:550141 CAPLUS
DN 137:78852
TI Preparation of citalopram from 5-carboxyphthalide and Grignard derivatives of 4-halofluorobenzenes and 3-dimethylaminopropyl halides.
IN Dancer, Robert; Petersen, Hans; Ahmadian, Haleh
PA H. Lundbeck A/S, Den.
SO Patentschrift (Switz.), 11 pp.
CODEN: SWXXAS
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 691968	A5	20011215	CH 2001-1521	20010816
	CA 2354880	A1	20020122	CA 2001-2354880	20010809
	CA 2354880	C	20030603		
	CA 2354877	A1	20020218	CA 2001-2354877	20010809
	CA 2354877	C	20060502		
	FI 2001001621	A	20020219	FI 2001-1621	20010809
	FI 2001001622	A	20020219	FI 2001-1622	20010809
	IL 144816	A	20050925	IL 2001-144816	20010809
	HU 200103291	A2	20020529	HU 2001-3291	20010810
	IT 2001MI1785	A1	20020218	IT 2001-MI1785	20010813
	IT 2001MI1786	A1	20020218	IT 2001-MI1786	20010813
	HU 200103295	A2	20020529	HU 2001-3295	20010813
	IN 194521	A1	20041113	IN 2001-MA665	20010813
	GB 2362647	A	20011128	GB 2001-19733	20010814
	GB 2362647	B	20020918		
	ZA 2001006687	A	20020214	ZA 2001-6687	20010814
	DK 2001001216	A	20020219	DK 2001-1216	20010814
	DK 2001001219	A	20020219	DK 2001-1219	20010814
	NO 2001003942	A	20020219	NO 2001-3942	20010814
	NO 2001003943	A	20020219	NO 2001-3943	20010814
	GB 2365865	A	20020227	GB 2001-19734	20010814

GB 2365865 B 20020717
US 2002025982 A1 20020228 US 2001-930107 20010814
US 6426422 B2 20020730
US 2002026062 A1 20020228 US 2001-930110 20010814
US 6509483 B2 20030121
WO 2002016341 A1 20020228 WO 2001-DK541 20010814
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
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WO 2002016342 A1 20020228 WO 2001-DK542 20010814
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AU 200179608 A 20020304 AU 2001-79608 20010814
AU 200179609 A 20020304 AU 2001-79609 20010814
GR 2001100397 A 20020524 GR 2001-100397 20010814
GR 1004635 B2 20040714
GR 2001100398 A 20020524 GR 2001-100398 20010814
GR 1004074 B2 20021126
ZA 2001006683 A 20020805 ZA 2001-6683 20010814
EP 1309581 A1 20030514 EP 2001-957785 20010814
EP 1309581 B1 20041103
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EP 1309582 A1 20030514 EP 2001-957786 20010814
EP 1309582 B1 20041103
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JP 2004506729 T 20040304 JP 2002-521442 20010814
JP 2004506730 T 20040304 JP 2002-521443 20010814
NZ 523853 A 20040730 NZ 2001-523853 20010814
NZ 523877 A 20040827 NZ 2001-523877 20010814
AT 281447 T 20041115 AT 2001-957785 20010814
AT 281448 T 20041115 AT 2001-957786 20010814
PT 1309581 T 20050331 PT 2001-957785 20010814
PT 1309582 T 20050331 PT 2001-957786 20010814
ES 2228920 T3 20050416 ES 2001-1957786 20010814
ES 2230347 T3 20050501 ES 2001-1957785 20010814
AU 2001100271 A4 20010913 AU 2001-100271 20010815
AU 2001100271 B4 20011129
CZ 294746 B6 20050316 CZ 2001-2958 20010815
CZ 295863 B6 20051116 CZ 2001-2959 20010815
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AU 2001100278 B4 20011129
NL 1018775 C1 20011024 NL 2001-1018775 20010816
NL 1018776 C1 20011024 NL 2001-1018776 20010816
BE 1013443 A6 20020115 BE 2001-548 20010816
FR 2813077 A1 20020222 FR 2001-10855 20010816
FR 2813077 B1 20040820
FR 2813078 A1 20020222 FR 2001-10857 20010816
FR 2813078 B1 20040402
DE 10140028 A1 20020418 DE 2001-10140028 20010816

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	CN 1339436	A	20020313	CN 2001-133948	20010817
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	ES 2170734	A1	20020801	ES 2001-1919	20010817
	ES 2170735	A1	20020801	ES 2001-1920	20010817
	CN 1515564	A	20040728	CN 2004-10001871	20010817
	IN 194535	A1	20041113	IN 2001-MA680	20010817
	BE 1013444	A6	20020115	BE 2001-550	20010820
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	HK 1047086	A1	20050422	HK 2002-106522	20020904
	HK 1068069	A1	20060922	HK 2005-100254	20020904
	BG 107583	A	20040130	BG 2003-107583	20030224
	BG 107584	A	20040130	BG 2003-107584	20030224
	IN 2003CH00968	A	20051230	IN 2003-CH968	20031125
PRAI	DK 2000-1231	A	20000818		
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	WO 2001-DK542	W	20010814		
	HK 2002-106522	A	20020904		

OS CASREACT 137:78852

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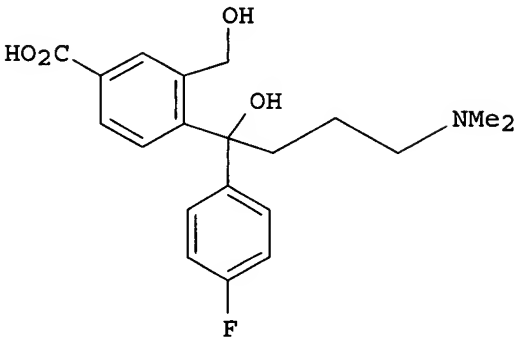

II

AB Citalopram (I) was prepared by reaction of 5-carboxyphthalide and Grignard derivs. of 4-halofluorobenzenes and 3-dimethylaminopropyl halides to give diol intermediate (II) followed by cyclization of II and conversion of the resulting carboxycitalopram to I. Thus, 5-carboxyphthalide in THF was treated sequentially with tetramethylethylenediamine, p-fluorophenylmagnesium bromide and MgBr2 in THF, and 3-dimethylaminopropylmagnesium bromide in THF/heptane to give 5-carboxycitalopram of >80% purity. The latter was heated with sulfamide and SOCl2 in sulfolane for 2 h at 130° to give citalopram of >97% purity.

L1 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:592319 CAPLUS
Correction of: 2001:386023

DN 135:137393
Correction of: 134:353251

TI Method for the preparation of citalopram

IN Petersen, Hans; Rock, Michael Harold

PA H Lundbeck A/S, Den.

SO Brit. UK Pat. Appl., 15 pp.
CODEN: BAXXDU

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2354240	A	20010321	GB 2001-1508	19991119
	GB 2354240	B	20010523		
	IT 99MI1579	A1	20010115	IT 1999-MI1579	19990715
	WO 2000011926	A2	20000309	WO 1999-DK643	19991119
	WO 2000011926	A3	20000629		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1105382	A2	20010613	EP 1999-968206	19991119
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	AU 2001100433	A4	20011101	AU 2001-2001100433	19991119
	AU 2001100433	B4	20020117		
	HU 200103417	A2	20020128	HU 2001-3417	19991119
	AT 213237	T	20020215	AT 1999-968206	19991119
	BR 9917367	A	20020305	BR 1999-17367	19991119
	AT 9909040	A	20020515	AT 1999-9040	19991119
	AT 409960	B	20021227		
	TR 200103700	T2	20020521	TR 2001-200103700	19991119
	JP 2002523432	T	20020730	JP 2000-567065	19991119
	JP 3389571	B2	20030324		
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	ES 2172356	T3	20020916	ES 1999-968206	19991119
	CZ 292174	B6	20030813	CZ 2001-319	19991119
	CN 1129593	B	20031203	CN 1999-816768	19991119
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	CA 2290125	C	20040810		
	NO 2001000318	A	20010220	NO 2001-318	20010119
	SE 2001000194	A	20010425	SE 2001-194	20010124
	SE 516689	C2	20020212		
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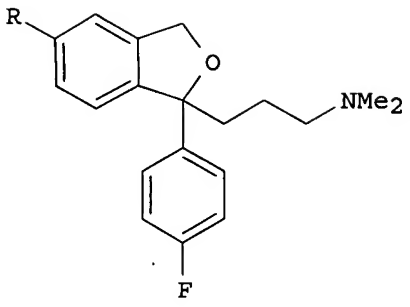
	ZA 2002005023	A	20030623	ZA 2002-5023	20020621
	HK 1047745	A1	20040910	HK 2002-109330	20021224
PRAI	DK 1999-921	A	19990625		
	WO 1999-DK643	W	19991119		

OS CASREACT 135:137393; MARPAT 135:137393

GI



I

AB A method for preparing the antidepressant, citalopram [I; R = CN], by reacting an isobenzofuranpropanamine [I; R = Cl or Br] with a cyanide source in the presence of a nickel catalyst is presented. Citalopram is produced in high yield as a very pure product using this catalytic process. Thus, sequential addition of I (R = Cl) and NaCN to the Ni catalyst formed by reflux of NiCl2 with PPh3 in AcCN in the presence of a catalytic amount of Zn, followed by workup and treatment with oxalic acid, gave citalopram oxalate in 55% yield.

L1 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:526066 CAPLUS
DN 135:107242
TI Method for the preparation of citalopram
IN Rock, Michael Harold; Ahmadian, Haleh
PA H. Lundbeck A/S, Den.
SO PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001051478	A1	20010719	WO 2001-DK140	20010301
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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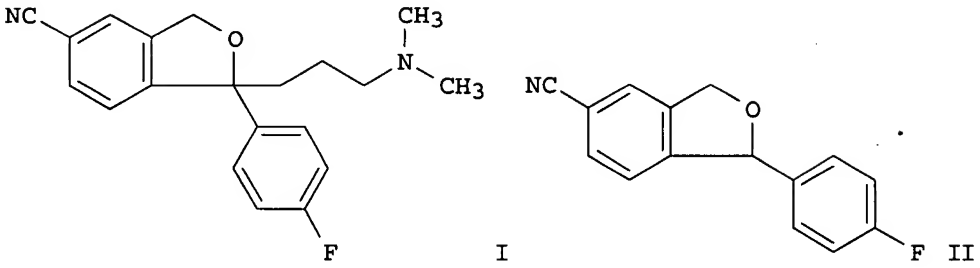
	NL 1017417	C1	20010316	NL 2001-1017417	20010221
	CA 2401374	A1	20010719	CA 2001-2401374	20010301
	EP 1263750	A1	20021211	EP 2001-911454	20010301
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	HU 200300060	A2	20030528	HU 2003-60	20010301
	BR 2001009022	A	20030603	BR 2001-9022	20010301
	JP 2003519692	T	20030624	JP 2001-551860	20010301
	NZ 521200	A	20040326	NZ 2001-521200	20010301
	BE 1011481	A6	20010703	BE 2001-143	20010302
	FR 2805814	A1	20010907	FR 2001-2896	20010302
	GR 1003795	B1	20020208	GR 2001-100106	20010305
	ZA 2002006846	A	20030827	ZA 2002-6846	20020827
	US 2003092761	A1	20030515	US 2002-232994	20020829
	US 6768011	B2	20040727		
	NO 2002004180	A	20020902	NO 2002-4180	20020902
	BG 107050	A	20030530	BG 2002-107050	20020902
	IN 2002CN01544	A	20050128	IN 2002-CN1544	20020925

PRAI DK 2000-353 A 20000303
WO 2001-DK140 W 20010301
OS CASREACT 135:107242; MARPAT 135:107242
GI



I II

AB The antidepressant compound citalopram (I) is prepared by the reaction of a dihydrobenzofuran (II) with R(CH2)3R1 (R = halogen, OSO2X; X = alkyl, alkenyl, aryl arylalkyl; R1 = dimethylamino, halogen OSO2X; such that R ≠ R1 = NMe2) followed by isolation of citalopram base or a pharmaceutically acceptable acid addition salt (e.g., citalopram oxalate).

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:452790 CAPLUS
DN 135:61223
TI Preparation of citalopram from 1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile.
IN Rock, Michael Harold; Ahmadian, Haleh
PA H. Lundbeck A/S, Den.
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001043525	A2	20010621	WO 2001-DK123	20010222
WO 2001043525	A3	20020131		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PT 1173431	T	20030930	PT 1999-913120	19990414
ES 2195554	T3	20031201	ES 1999-913120	19990414
NL 1017414	C1	20010315	NL 2001-1017414	20010221
NL 1017415	C1	20010518	NL 2001-1017415	20010221
FR 2805812	A1	20010907	FR 2001-2339	20010221
FR 2805813	A1	20010907	FR 2001-2341	20010221
BE 1012921	A6	20010508	BE 2001-118	20010222
CA 2401236	A1	20010621	CA 2001-2401236	20010222
AU 200135358	A	20010625	AU 2001-35358	20010222
CA 2400682	A1	20010830	CA 2001-2400682	20010222
WO 2001062754	A1	20010830	WO 2001-DK122	20010222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

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            HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
            SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
            YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    GR 2001100097          A     20011031    GR 2001-100097          20010222
    GR 2001100098          A     20011031    GR 2001-100098          20010222
    GR 1004073             B2    20021126
    EP 1259500             A1    20021127    EP 2001-907388          20010222
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    EP 1259501             A2    20021127    EP 2001-907389          20010222
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            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    HU 200300078           A2    20030528    HU 2003-78              20010222
    BR 2001008947          A     20030603    BR 2001-8947            20010222
    BR 2001008937          A     20030617    BR 2001-8937            20010222
    HU 200300212           A2    20030628    HU 2003-212             20010222
    JP 2003523955          T     20030812    JP 2001-544478          20010222
    JP 2003524009          T     20030812    JP 2001-562536          20010222
    CN 1608057             A     20050420    CN 2001-805556          20010222
    BE 1011177             A6    20010703    BE 2001-126             20010223
    US 2001027256          A1    20011004    US 2001-794762          20010226
    US 6420574             B2    20020716
    US 2002004604          A1    20020110    US 2001-794755          20010226
    GR 2001100123          A     20021122    GR 2001-100123          20010313
    GR 1004072             B2    20021202
    ZA 2002006255          A     20031020    ZA 2002-6255            20020806
    NO 2002003928          A     20020819    NO 2002-3928            20020819
    BG 107015              A     20030530    BG 2002-107015          20020820
    ZA 2002006699          A     20031121    ZA 2002-6699            20020821
    NO 2002004007          A     20021007    NO 2002-4007            20020822
    US 2003083508          A1    20030501    US 2002-228388          20020823
    ZA 2002006899          A     20030828    ZA 2002-6899            20020828
    BG 107061              A     20030530    BG 2002-107061          20020904
    IN 2002CN01483         A     20050128    IN 2002-CN1483          20020918
    IN 2002CN01512         A     20050128    IN 2002-CN1512          20020923
    US 2003114692          A1    20030619    US 2002-286407          20021101
    HK 1054378             A1    20050429    HK 2003-106541          20030911
PRAI DK 2000-296           A     20000224
    DK 2000-401            A     20000313
    EP 1999-913120         A     19990414
    WO 2001-DK122          W     20010222
    WO 2001-DK123          W     20010222
    US 2001-794755         A1    20010226
OS  CASREACT 135:61223; MARPAT 135:61223
GI
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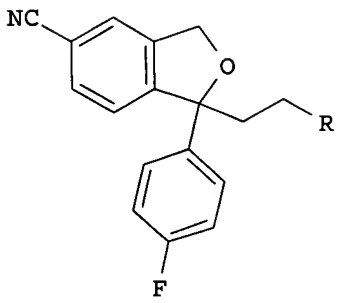

II

AB Citalopram was prepared by reaction of 1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile (I) with XCH2CH2R (X = leaving group; R = CH2OPg, CH2NPg1Pg2, CONMe2, etc.; Pg, Pg1, Pg2 = protecting group) to give intermediate (II) followed by conversion of the R group to form a dimethylaminomethyl group and isolation. Thus, I in THF was added to LDA in THF at -78° followed by stirring for 30 min; PhCH2O(CH2)3Br in THF was added followed by warming to room temperature and stirring for 2 h to give 60% 1-[(3-benzyloxy)propyl]-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile. The latter was refluxed 2 days with 1,4-cyclohexadiene and Pd/C in EtOH to give 80% 1-(4-fluorophenyl)-1-(3-hydroxypropyl)-1,3-dihydroisobenzofuran-5-carbonitrile. This was converted to the tosylate (42%) which was heated with Et3N and Me2NH.HCl in DMF at 70° overnight to give 70% citalopram as the oxalate.

=>

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          1 S ESCITALOPRAM/CN
L6           1 S ESCITALOPRAM OXALATE/CN

     FILE 'REGISTRY' ENTERED AT 17:26:48 ON 10 MAY 2007

     FILE 'STNGUIDE' ENTERED AT 17:27:28 ON 10 MAY 2007

     FILE 'ZCAPLUS' ENTERED AT 17:29:52 ON 10 MAY 2007
L7          72 S L6
L8           2 S L7 AND (CRYSTL? OR POLYMORPH? OR (X (W)RAY))

=> s l7 not l8
L9          70 L7 NOT L8

=> s l9 and wo2003011278/pn
            1 WO2003011278/PN
              (WO2003011278/PN)
L10         1 L9 AND WO2003011278/PN

=> fil stng
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    16.15      94.29

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -13.26

FILE 'STNGUIDE' ENTERED AT 17:33:37 ON 10 MAY 2007
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE
AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: May  4, 2007 (20070504/UP).

=> fil zcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.30      94.59

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -13.26

FILE 'ZCAPLUS' ENTERED AT 17:36:46 ON 10 MAY 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 10 May 2007 VOL 146 ISS 20

FILE LAST UPDATED: 9 May 2007 (20070509/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l7 and (acetone or (ethyl(w)acetate) or methanol or isoprop? or acetonitrile)
        176771 ACETONE
        470618 ETHYL
        535466 ACETATE
         27387 ETHYL(W)ACETATE
        209619 METHANOL
        205255 ISOPROP?
         86795 ACETONITRILE
L11         13 L7 AND (ACETONE OR (ETHYL(W)ACETATE) OR METHANOL OR ISOPROP? OR
               ACETONITRILE)

=> s l11 not l8
L12         12 L11 NOT L8

=> d bib hit 1-12
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L12 ANSWER 1 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:341094 ZCAPLUS
TI Determination of citalopram and escitalopram together with their active main metabolites desmethyl(es-)citalopram in human serum by column-switching high performance liquid chromatography (HPLC) and spectrophotometric detection
AU Greiner, Christine; Hiemke, Christoph; Bader, Wolfgang; Haen, Ekkehard
CS Clinical Pharmacology/Psychopharmacology of the Department of Psychiatry, Psychosomatic and Psychotherapy of the University of Regensburg, Regensburg, D-93053, Germany
SO Journal of Chromatography, B: Analytical Technologies in the Biomedical and Life Sciences (2007), 848(2), 391-394
CODEN: JCBAAI; ISSN: 1570-0232
PB Elsevier B.V.
DT Journal
LA English
AB We established a method for automated quant. anal. of (es-)citalopram and desmethyl(es-)citalopram in serum using column-switching high performance liquid chromatog. (HPLC). For sample clean-up serum was injected onto a LiChrospher CN 20 μm precolumn using 8% acetonitrile in deionized water. Drugs were eluted by back-flush flow onto the anal. column (LiChrospher CN 5 μm) at a flow rate of 1.5 mL/min with phosphate buffer 8 mmol/l pH 6.4/acetonitrile (50/50, volume/volume). Haloperidol was used as internal standard · Analytes were detected by UV spectrophotometry at 210 nm. Detection limit of (es-)citalopram was 6 ng/mL. The method was found to be suitable for therapeutic drug monitoring of patients treated with citalopram or escitalopram.
IT 59729-32-7, Citalopram hydrobromide 97743-99-2, lu-11-109-c 219861-08-2, Escitalopram oxalate
RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(citalopram, (es-)citalopram and metabolite determination in human serum by HPLC and spectrophotometric detection)

L12 ANSWER 2 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:115433 ZCAPLUS
DN 146:206191
TI An improved process for preparation of escitalopram

IN Kaushik, Vipin Kumar; Khan, Mohammed Umar; Meenakshisunderam, Sivakumaran
PA Aurobindo Pharma Limited, India
SO PCT Int. Appl., 18pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007012954	A1	20070201	WO 2006-IB2050	20060720

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI IN 2005-CH1014 A 20050727
OS CASREACT 146:206191
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 219861-08-2P, Escitalopram oxalate
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of escitalopram)
IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Isopropanol, uses 71-36-3, n-Butanol, uses 75-05-8, Acetonitrile, uses 75-09-2, Methylene chloride, uses 78-83-1, Iso-Butanol, uses 108-88-3, Toluene, uses 109-99-9, THF, uses 110-82-7, Cyclohexane, uses
RL: NUU (Other use, unclassified); USES (Uses)
(preparation of escitalopram)

L12 ANSWER 3 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1065915 ZCAPLUS
DN 145:418932
TI Process for the preparation of Escitalopram or its acid addition salts from racemic diol precursors by resolution and cyclization.
IN Goankar, Santosh Laxman; Das, Prasenjit Prafulla; Narahari Babu, Ambati; Manjunatha, Sulur G.
PA Jubilant Organosys Ltd., India
SO PCT Int. Appl., 25pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006106531	A1	20061012	WO 2006-IN124	20060404

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

IN 2005DE00856 A 20070105 IN 2005-DE856 20050404

PRAI IN 2005-DE856 A 20050404

OS MARPAT 145:418932

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 219861-08-2P, Escitalopram oxalate
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(preparation of Escitalopram or its acid addition salts from racemic diol precursors by resolution and cyclization)

IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Isopropanol, uses 67-64-1, Acetone, uses 75-05-8, Acetonitrile, uses 87-69-4, Tartaric acid, uses 141-78-6, Ethyl acetate, uses 2743-38-6 5872-08-2, 10-Camphorsulfonic acid 32634-66-5 35296-72-1, Butanol
RL: NUU (Other use, unclassified); USES (Uses)
(preparation of Escitalopram or its acid addition salts from racemic diol precursors by resolution and cyclization)

L12 ANSWER 4 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:213262 ZCAPLUS

DN 144:292567

TI Process for preparation of escitalopram

IN Pulla Reddy, Muddasani; Sambasiva Rao, Talasila; Venkaiah Chowdary, Nannapaneni

PA Natco Pharma Limited, India

SO PCT Int. Appl., 22 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006025071	A1	20060309	WO 2005-IN282	20050823

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

IN 2004CH00885 A 20060929 IN 2004-CH885 20040902

PRAI IN 2004-CH885 A 20040902

OS CASREACT 144:292567

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 128196-01-0P, Escitalopram 219861-08-2P 878655-31-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of escitalopram)

IT 60-29-7, Ether, uses 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Isopropanol, uses 67-64-1, Acetone, uses 68-12-2, DMF, uses 75-05-8, Acetonitrile, uses

75-09-2, Dichloromethane, uses 96-47-9, 2-Methyltetrahydrofuran 108-20-3, Diisopropyl ether 108-88-3, Toluene, uses 109-99-9, THF, uses 110-82-7, Cyclohexane, uses 110-86-1, Pyridine, uses 123-91-1, 1,4-Dioxane, uses 127-19-5, DMAc 141-78-6, Ethyl acetate, uses 872-50-4, N-Methyl-2-pyrrolidone, uses
RL: NUU (Other use, unclassified); USES (Uses)
(preparation of escitalopram)

L12 ANSWER 5 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:152349 ZCAPLUS
DN 144:199125
TI Liquid chromatography determination of citalopram enantiomers using β-cyclodextrin as a chiral mobile phase additive
AU El-Gindy, Alaa; Emara, Samy; Mesbah, Mostafa K.; Hadad, Ghanda M.
CS Faculty of Pharmacy, Pharmaceutical Analytical Chemistry Department, Suez Canal University, Ismailia, 41522, Egypt
SO Journal of AOAC International (2006), 89(1), 65-70
CODEN: JAINEE; ISSN: 1060-3271
PB AOAC International
DT Journal
LA English
RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
AB A reliable and specific method for the determination of citalopram enantiomers was
developed and validated. Chromatog. resolution of citalopram enantiomers was made on a Shim-pack (5 μm particle size) cyanopropyl column with β-cyclodextrin (β-CD) as an effective chiral mobile phase additive. The composition of the mobile phase was (90 + 10, volume/volume) aqueous
0.1% triethylammonium acetate buffer, pH 4.0 (adjusted with acetic acid), and acetonitrile, containing 12 mM β-CD. The flow rate was 0.8 mL/min with UV detection at 240 nm. The effects of the mobile phase composition, concentration of β-CD, and pH of the triethylammonium acetate buffer
on peak shape and resolution of the enantiomers were investigated. The calibration graphs were linear (r = 0.9999, n = 8) in the range of 1-40 μg/mL for S-(+) citalopram and R-(-) citalopram. The limit of detection values were 5.51 + 10-3 and 4.35 + 10-3 μg/mL, while the limit of quantification values were found to be 1.84 + 10-2 and 1.45 + 10-2 μg/mL for S-(+) citalopram and R-(-) citalopram, resp.
IT 59729-32-7, Cipram 59729-33-8, Citalopram 219861-08-2, Cipralex
RL: ANT (Analyte); PRP (Properties); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(liquid chromatog. determination of citalopram enantiomers with β-cyclodextrin)

L12 ANSWER 6 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1200925 ZCAPLUS
DN 143:446803
TI Oral formulation for sustained delivery antidepressants
IN Challapalli, Prasad V. N.; Gumudavelli, Peddanna; Murty, Ram B.
PA USA
SO U.S. Pat. Appl. Publ., 24 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI US 2005250838 A1 20051110 US 2005-122189 20050504
PRAI US 2004-568376P P 20040504
IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Isopropanol, uses
RL: NUU (Other use, unclassified); PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process); USES (Uses)
(oral formulation for sustained delivery antidepressants)
IT 31677-93-7, Bupropion hydrochloride 34911-55-2, Bupropion 54910-89-3, Fluoxetine 56296-78-7, Fluoxetine hydrochloride 59729-32-7, Citalopram hydrobromide 59729-33-8, Citalopram 61869-08-7, Paroxetine 79559-97-0, Sertraline hydrochloride 79617-96-2, Sertraline 93413-69-5, Venlafaxine 99300-78-4, Venlafaxine hydrochloride 116539-59-4, Duloxetine 128196-01-0, Escitalopram 136434-34-9, Duloxetine hydrochloride 219861-08-2, Escitalopram oxalate
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral formulation for sustained delivery antidepressants)

L12 ANSWER 7 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1075785 ZCAPLUS
DN 143:347046
TI Preparation of crystalline citalopram diol intermediate
IN Mei, Runan; Guo, Dianwu; Wang, Shulong
PA Hangzhou Minsheng Pharmaceutical Co., Ltd, Peop. Rep. China
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA Chinese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005092875	A1	20051006	WO 2004-CN1418	20041206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 1629153	A	20050622	CN 2004-10044335	20040526
EP 1700851	A1	20060913	EP 2004-802432	20041206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
PRAI CN 2003-10123623	A	20031219		
CN 2004-10044335	A	20040526		
WO 2004-CN1418	W	20041206		

OS MARPAT 143:347046
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 108-20-3, Isopropyl ether 110-54-3, Hexane, uses 7732-18-5, Water, uses
RL: NUU (Other use, unclassified); USES (Uses)
(preparation of citalopram diol intermediate)
IT 59729-32-7P, Citalopram hydrobromide 128196-02-1P 219861-08-2P 481047-48-7P 488787-59-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of citalopram diol intermediate)

L12 ANSWER 8 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:529087 ZCAPLUS
DN 143:393263
TI Chiral Separation of Citalopram Hydrobromide Enantiomers and ee of Escitalopram Oxalate
AU Pan, Hongjuan; Zhu, Xueyan
CS Shanghai Institute of Pharmaceutical Industry, Shanghai, 200040, Peop. Rep. China
SO Zhongguo Yiyao Gongye Zazhi (2004), 35(8), 484-485
CODEN: ZYGZEA; ISSN: 1001-8255
PB Zhongguo Yiyao Gongye Zazhi Bianjibu
DT Journal
LA Chinese
AB An HPLC method for chiral separation of citalopram hydrobromide enantiomers and optical purity detection of escitalopram oxalate was established. A Chiralpak AD-H chiral column was used with the mobile phase of n-hexane-isopropylalc.-diethylamine (95:5:0.1). The column temperature was 25 degree C, and the detection wavelength was 240 nm. The average resolution between S-(+)-and R-(-)-citalopram was 2.47. The R-(-)-citalopram content was less than 1.0. The ee of escitalopram oxalate was more than 98.0%.
IT 59729-32-7P, Citalopram hydrobromide 219861-08-2P, Escitalopram oxalate
RL: ANT (Analyte); PUR (Purification or recovery); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(chiral separation of citalopram hydrobromide enantiomers and ee of escitalopram oxalate)

L12 ANSWER 9 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:451372 ZCAPLUS
DN 142:481937
TI Preparation of enantiomerically enriched escitalopram
IN Sundaram, Venkataraman; Mathad, Vijayavitthal Thippannachar; Venkavala, Pravinachandra Jayanthilal; Elati, Chandrashekar Ravirama; Kolla, Naveenkumar; Govindan, Shanmugam; Chalamala, Subrahmanyeshwara Rao; Gangula, Srinivas
PA Reddy's Laboratories, Inc., USA; Reddy's Laboratories Ltd.
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005047274	A1	20050526	WO 2004-US38490	20041112
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	IN 2004CH00370	A	20070223	IN 2004-CH370	20040422
	CA 2575975	A1	20050526	CA 2004-2575975	20041112
	EP 1706394	A1	20061004	EP 2004-811264	20041112
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
PRAI	IN 2003-CH924	A	20031112		

IN 2004-CH370 A 20040422
US 2004-598725P P 20040804
WO 2004-US38490 W 20041112
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 64-18-6, Formic acid, uses 67-56-1, Methanol, uses 67-68-5, Dmso, uses 108-88-3, Toluene, uses
RL: NUU (Other use, unclassified); USES (Uses)
(preparation of enantiomerically enriched escitalopram)
IT 62498-68-4P, 1-(3-(Methylamino)propyl)-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile oxalate 128196-02-1P, (-)-(R)-1-(3-(Dimethylamino)propyl)-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile 219861-08-2P, (+)-(S)-1-(3-(Dimethylamino)propyl)-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile oxalate 852172-06-6P 852172-07-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of enantiomerically enriched escitalopram)

L12 ANSWER 10 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:31412 ZCAPLUS
DN 142:141428
TI Quantitative analysis of S-citalopram oxalate by chiral liquid chromatography
AU Yang, Xue-mei; Liu, Xu; Yan, Yi-chen; Xu, Jiang-ping
CS Department of Chemistry, First Military Medical University, Guangzhou, 510515, Peop. Rep. China
SO Zhongguo Xinyao Zazhi (2004), 13(11), 1020-1021
CODEN: ZXZHA6; ISSN: 1003-3734
PB Zhongguo Xinyao Zazhishe
DT Journal
LA Chinese
AB An HPLC method for the separation of enantiomers of citalopram oxalate and determination of S-citalopram was presented. The chromatog. conditions were as follows: a Chirobiotic V column (250mm d 4.6mm, 5am), methanol -acetic acid-triethylamine (100:0.1:0.1) as a mobile phase, detection wavelength at 240 nm, the column temperature at 20oC and flow rate at 1.0 mL;mine . The enantiomers of citalopram oxalate was successfully separated The linearity of S-citalopram was 10-150 gumLes with a regression coefficient at 0.999 1 (n=5). Conclusion: This quant. anal. of S-citalopram oxalate is attained.
IT 207559-01-1 219861-08-2 219861-53-7
RL: ANT (Analyte); ANST (Analytical study)
(resolution of citalopram oxalate by chiral HPLC)

L12 ANSWER 11 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:916883 ZCAPLUS
DN 142:85782
TI Liquid chromatography-electrospray ionisation mass spectrometry method for the determination of escitalopram in human plasma and its application in bioequivalence study
AU Singh, Sonu Sundd; Shah, Hiten; Gupta, Sapna; Jain, Manish; Sharma, Kuldeep; Thakkar, Purav; Shah, Ruchy
CS Biomedical and DMPK Department, Zydus Research Center, Ahmedabad, 382213, India
SO Journal of Chromatography, B: Analytical Technologies in the Biomedical and Life Sciences (2004), 811(2), 209-215
CODEN: JCBAAI; ISSN: 1570-0232
PB Elsevier B.V.
DT Journal
LA English
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB A novel liquid chromatog.-electrospray ionization mass spectrometric (LC-ESI-MS) method has been developed for the determination of escitalopram, an antidepressant in human plasma using paroxetine as internal standard The method involved liquid-liquid extraction of the analyte from human plasma with a mixture of di-Et ether and dichloromethane (70:30, volume/volume). The chromatog. separation was achieved within 7.0 min by using 2.0 mM ammonium acetate (pH 5.0)-acetonitrile (54:46, volume/volume) as mobile phase and a ODS YMC AQ 150 mm + 4.6 mm anal. column; the flow-rate was 1.0 mL/min. Ion signals m/z 325.0 and 330.0 for escitalopram and internal standard, were measured in the pos. mode. A detailed validation of the method was performed as per USFDA guidelines and the standard curves were found to be linear in the range of 1.0-200 ng/mL with a mean correlation coefficient more than 0.99. The absolute recovery was more than 75% for both escitalopram and internal standard The method was simple, sensitive, precise, accurate and was successfully applied to the bioequivalence study of escitalopram in healthy, male, human subjects.

IT 219861-08-2, Lexapro
RL: ANT (Analyte); PKT (Pharmacokinetics); ANST (Analytical study); BIOL (Biological study)
(escitalopram oxalate; LC-ESI-MS method for determination of escitalopram in human plasma and its application in bioequivalence study)

L12 ANSWER 12 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:837069 ZCAPLUS
DN 139:337880
TI Preparation of escitalopram via the chiral enriched diol monoesters of (4-bromo-2-(hydroxymethyl)phenyl)-(4-fluorophenyl)methanol
IN Tse, Hoi Lun Allan
PA Torcan Chemical Ltd., Can.
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003087081	A1	20031023	WO 2003-CA522	20030408
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2381341	A1	20031009	CA 2002-2381341	20020409
	AU 2003218575	A1	20031027	AU 2003-218575	20030408
	EP 1495013	A1	20050112	EP 2003-711761	20030408
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2006009515	A1	20060112	US 2005-510890	20050311
PRAI	CA 2002-2381341	A	20020409		
	WO 2003-CA522	W	20030408		

OS CASREACT 139:337880
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
TI Preparation of escitalopram via the chiral enriched diol monoesters of (4-bromo-2-(hydroxymethyl)phenyl)-(4-fluorophenyl)methanol
AB Preparation of escitalopram (I) via the chiral enriched monoacetate ester of

(4-bromo-2-(hydroxymethyl)phenyl)-(4-fluorophenyl)methanol (II) was disclosed. For example, a racemic mixture of monoacetate ester II (13.52 g) and (+)-di-p-toluoyl tartaric acid (11.92 g) in acetone (135 mL) was heated at reflux until a pale brown solution was obtained. The solution was cooled, the acetone removed under vacuum and the resulting brown foam recrystd. from acetone-hexane (2:1) to afford the (+)-di-p-toluoyl tartaric acid salt of monoacetate ester II with a diastereomeric ratio of 97:3. Of note, the claimed (+)-di-p-toluoyl tartaric acid salt of monoacetate ester II was converted to escitalopram oxalate in 4-steps with [α]D = +10.1° (at 20°C, c 0.95 in MeOH).

IT 128196-01-0P, Escitalopram 219861-08-2P, Escitalopram oxalate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of escitalopram via a chiral enriched diol monoester intermediate)